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L4            1 US20040147561/PN OR US2002-436787#/AP,PRN

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L1   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2005 ACS on STN
AN   2004:589549  HCAPLUS
DN   141:140450
ED   Entered STN:  23 Jul 2004
TI   Preparation of 2-oxopyridin-3-yl thia(di)azoles as Cdk2 and Cdk5 kinase
     inhibitors for the treatment of cell proliferation-related disorders
IN   Zhong, Wenge; Norman, Mark Henry; Kaller, Matthew; Nguyen, Thomas; Rzasa,
     Robert Michael; Tegley, Christopher; Wang, Hui-Ling
PA   Amgen Inc., USA
SO   PCT Int. Appl., 317 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
IC   ICM  C07D417-14
     ICS  C07D417-04; C07D471-04; C07D491-04; A61K031-4412; A61P035-00
CC   28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
     Section cross-reference(s): 1, 63
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   WO 2004060890        A1    20040722    WO 2003-US41388        20031222 <--
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             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
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PRAI US 2002-436787P      P     20021227  <--
     US 2003-736289       A     20031212
CLASS
 PATENT NO.       CLASS  PATENT FAMILY CLASSIFICATION CODES
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 WO 2004060890    ICM    C07D417-14
                  ICS    C07D417-04; C07D471-04; C07D491-04; A61K031-4412;
                         A61P035-00
 WO 2004060890    ECLA   C07D417/04+277B+213; C07D417/14+277B+213+213;
                         C07D417/14+277B+277B+213; C07D417/14+307B+277B+213;
                         C07D417/14+317+277B+213; C07D417/14+333B+277B+213;
                         C07D417/14R+277B+213; C07D417/14R+277B+213+207;
                         C07D417/14R+277B+213+211; C07D417/14R+277B+263B+213;
                         C07D417/14R+277B+275+213; C07D417/14R+307B+277B+213;
                         C07D417/14R+333B+277B+213; C07D471/04+221B+221B;
                         C07D471/04+221B+221B+2; C07D491/04+311B+221B        <--
 US 2004147561    NCL    514/340.000; 514/345.000; 546/268.100; 546/300.000
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                         C07D417/14R+307B+277B+213; C07D471/04+221B+221B+2;
                         C07D491/04+311B+221B                              <--
OS   MARPAT 141:140450
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = O or S; Q = NH2 and derivs., NHC(:O)H, alkyl-OH and derivs., (un)substituted monocyclic or bicyclic, etc; W = (un)substituted 1,3-thiazolyl, 1,2,4-thiadiazolyl; R1, R2, R3 = independently H, halo, aryl, alk(en/yn)yl, perfluoroalkyl, NO2, heterocyclyl, NH2 and derivs., etc.; R1CCR2 or R2CCR3 = 5-10 membered (un)saturated carbocyclic or heterocyclic and derivs.; with provisos; and pharmaceutically acceptable salts thereof] are disclosed as serine/threonine kinase inhibitors for effective treatment of cell proliferation or apoptosis-mediated diseases (no data). The invention encompasses I and pharmaceutical derivs. thereof, pharmaceutical compns., and methods for prophylaxis and treatment of diseases and other maladies or conditions involving stroke, cancer, and the like (no data). For example, II was prepared by cyclization of bromoacetylpyridinone (III) (preparation given) with 2-(2-thienylsulfonyl)ethanethioamide in EtOH under microwave conditions at 150° for 5 min. II exhibited Cdk2/cyclin and Cdk5/p25 kinase activity with IC50 values < 0.5 μM and inhibited cell proliferation of human PC-3 prostate cells, HCT 116 human colon carcinoma cells, or HT 29 human colon carcinoma cells with IC50 < 1 μM.

ST thiadiazole prepn cyclin dependent kinase inhibitor antiproliferative apoptosis; anticancer stroke treatment oxopyridine thiazole prepn Cdk2 Cdk5 inhibitor

IT Intestine, neoplasm
(colon, treatment; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)
IT Cell proliferation
(inhibition; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)
IT Antitumor agents
Apoptosis
Human
Nervous system agents
(preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)
IT Brain, disease
(stroke, treatment; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)
IT Neoplasm
Nervous system, disease
Prostate gland, neoplasm
(treatment; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)
IT 727383-80-4P, 2-Methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid trifluoroacetate
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)
IT 727382-46-9P, Ethyl 2-ethyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydropyridine 3-carboxylate 727382-58-3P, Ethyl 2-isopropyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-61-8P, Ethyl 2-isopropyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-78-7P 727383-04-2P, Ethyl 5-[2-(2-chloro-4-pyridinyl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-27-9P, Ethyl 5-[2-[2-(4-Methoxybenzylamino)pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-30-4P, Ethyl 2-methyl-5-[2-(methylamino)-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-52-0P, 2-(Isopropyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylic acid 727383-77-9P, 1,1-Dimethylethyl 2-methyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-89-3P, 5-Hydroxymethyl-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-52-3P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid (2-hydroxyethyl)amide 727384-54-5P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid (2-hydroxypropyl)amide 727384-61-4P, 2-(2-Benzyloxyethyl)-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid ethyl ester 727384-65-8P, 2-(2-Hydroxyethyl)-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid ethyl ester
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)
IT 727382-48-1P 727382-49-2P, Ethyl 2-ethyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-50-5P, Ethyl 2-ethyl-6-oxo-5-[2-(benzodioxol-5-yl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-51-6P, Ethyl 6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-(trifluoromethyl)-1,6-dihydro-3-pyridinecarboxylate 727382-53-8P, Ethyl 2-trifluoromethyl-6-oxo-5-[2-(3-chloro-4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-55-0P, Ethyl 6-oxo-5-[2-[(2-pyridylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-(trifluoromethyl)-1,6-dihydro-3-pyridinecarboxylate 727382-56-1P, Ethyl 6-oxo-5-[2-[(2-

thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-(trifluoromethyl)-1,6-dihydro-3-pyridinecarboxylate 727382-57-2P, Ethyl 2-trifluoromethyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-60-7P, Ethyl 2-isopropyl-6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-62-9P, Ethyl 2-propyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-65-2P, Ethyl 2-propyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-66-3P, Ethyl 2-propyl-6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-67-4P, Ethyl 6-oxo-2-[(phenylmethoxy)methyl]-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-71-0P, Ethyl 6-oxo-2-[(phenylmethoxy)methyl]-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-72-1P 727382-74-3P, 3-[2-(Pyridin-4-yl)-1,3-thiazol-4-yl]-1,7,8-trihydro-5H-pyrano[4,3-b]pyridin-2-one 727382-76-5P 727382-79-8P, 3-[2-(Pyridin-4-yl)-1,3-thiazol-4-yl]-1,5,6,7,8-pentahydropyridino[3,2-c]pyridin-2-one dihydrochloride 727382-80-1P, Ethyl 2-[[(4-methoxyphenyl)methoxy]methyl]-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-85-6P, Ethyl 2-methyl-6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-87-8P, Ethyl 5-[2-[[(4-chlorophenyl)sulfonyl]methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727382-89-0P, Ethyl 5-[2-[[[(4-fluorophenyl)methyl]sulfonyl]methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727382-90-3P, Ethyl 2-methyl-6-oxo-5-[2-(2-thienyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-92-5P, Ethyl 2-methyl-6-oxo-5-[2-(phenylthiomethyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-93-6P, Ethyl 5-[2-(2-ethyl-4-pyridinyl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727382-94-7P, Ethyl 2-methyl-6-oxo-5-[2-[[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-95-8P, Ethyl 2-methyl-6-oxo-5-[2-(3-thienyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-96-9P, Ethyl 5-[2-(2H-benzo[d]-1,3-dioxolan-5-yl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727382-97-0P, Ethyl 2-methyl-6-oxo-5-(2-phenyl-1,3-thiazol-4-yl)-1,6-dihydro-3-pyridinecarboxylate 727382-98-1P, Ethyl 2-methyl-6-oxo-5-[2-(4-fluorophenyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-99-2P, Ethyl 5-[2-(2,6-dichlorophenyl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-00-8P, Ethyl 2-methyl-5-[2-(2-methyl-1,3-thiazol-4-yl)-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-01-9P, Ethyl 5-[2-[[(furan-2-ylmethyl)sulfonyl]methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-02-0P, Ethyl 5-[2-[[(tert-butyl)sulfonyl]methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-03-1P, Ethyl 2-methyl-6-oxo-5-[2-(3-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-06-4P, Ethyl 2-methyl-6-oxo-5-[2-(4-methoxyphenyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-07-5P, Ethyl 5-[2-(3,5-dichloropyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-08-6P, Ethyl 5-[2-[(methylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-09-7P, Ethyl 5-[2-[3-[[(4-chlorophenyl)sulfonyl]methyl]-2-thienyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-10-0P, Ethyl 2-methyl-6-oxo-5-[2-[2-(1-piperidinyl)-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-11-1P, Ethyl 2-methyl-5-[2-[2-[(2-methylpropyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-12-2P, Ethyl 2-methyl-6-oxo-5-[2-[2-[(3-pyridinylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-13-3P, Ethyl 2-methyl-6-oxo-5-[2-[2-[(phenylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-14-4P, Ethyl 2-methyl-6-oxo-5-[2-[2-[2-oxo-3-(trifluoromethyl)-1(2H)-pyridinyl]ethyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-15-5P, Ethyl 5-[2-[2-[[2-(diethylamino)ethyl]amino]-4-pyridinyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-

1,6-dihydro-3-pyridinecarboxylate 727383-16-6P, Ethyl 5-[2-[2-[(fur-2-ylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-17-7P, Ethyl 5-[2-[2-[[2-(thien-2-yl)ethyl]amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-18-8P, Ethyl 5-[2-[2-(4-fluorobenzylamino)pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-19-9P, Ethyl 5-[2-(2-butylaminopyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-20-2P, Ethyl 5-[2-[2-[(carbamoylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-21-3P, Ethyl 5-[2-[2-[2-(acetylamino)ethylamino]pyridin-4-yl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-22-4P, N-[2-[[4-[4-(6-Methyl-2-oxo-1,2-dihydropyridin-3-yl)-1,3-thiazol-2-yl]pyridin-2-yl]amino]ethyl]acetamide 727383-23-5P, N-(Cyclopropylmethyl)-5-[2-[2-[(cyclopropylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxamide hydrochloride 727383-24-6P, Ethyl 5-[2-[2-[(cyclopropylmethyl)amino]pyridin-4-yl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-25-7P, Ethyl 5-[2-[2-[(Cyclopentylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-26-8P, 5-[2-[2-[(4-Methoxybenzyl)amino]pyridin-4-yl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid N-(4-methoxybenzyl)amide hydrochloride 727383-28-0P, Ethyl 2-methyl-6-oxo-5-[2-[2-(amino)-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-29-1P 727383-31-5P, Ethyl 2-methyl-5-[2-[methyl(phenylsulfonyl)amino]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-32-6P 727383-33-7P, Ethyl 2-methyl-5-[2-[methyl(phenylsulfonyl)amino]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride (1/2) 727383-34-8P, 5-[(Phenylmethyl)oxy]-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone 727383-35-9P, 6-(Methoxymethyl)-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone 727383-37-1P, 5-Phenoxy-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone 727383-38-2P, 5-Phenoxy-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone hydrochloride (1/3) 727383-39-3P, 6-Methyl-3-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-40-6P, Ethyl 2-(1-methylethyl)-5-[2-(2-methoxy-4-pyridinyl)-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-42-8P, Ethyl 2-methyl-5-[2-[2-(methoxy)-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-43-9P, Ethyl 2-methyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-44-0P, Ethyl 2-methyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-45-1P, Ethyl 2-methyl-6-oxo-5-[2-[(2-pyridylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-46-2P, Ethyl 2-methyl-5-[2-[1-methyl-1-(phenylsulfonyl)ethyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-47-3P, Ethyl 2-cyclopropyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-51-9P, Ethyl 2-cyclopropyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-53-1P, 5-Bromo-6-methyl-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone 727383-56-4P, Ethyl 2-methyl-5-[2-[2-(methylamino)-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-58-6P, 5-Amino-6-ethyl-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone 727383-65-5P, N-[2-Ethyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727383-66-6P, 4-Dimethylamino-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-68-8P, 6-Methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-5,6,7,8-tetrahydro-1H-[1,6]naphthyridin-2-one 727383-69-9P, 2-Methyl-6-oxo-N-(2-pyridinylmethyl)-5-[2-[2-[[(2-pyridinyl)methyl]amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxamide 727383-70-2P, 6-Methyl-3-[2-[2-[(2-pyridinylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-2(1H)-pyridinone 727383-71-3P, Ethyl 2-methyl-6-oxo-5-[2-[2-[(2-pyridinylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-

pyridinecarboxylate 727383-72-4P, Ethyl 2-methyl-6-oxo-5-[2-[2-[[2-(phenyloxy)ethyl]amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-73-5P, 5-[2-[2-(Ethoxy)-4-pyridinyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 727383-75-7P, Ethyl 5-[2-(2-dimethylaminopyridin-4-yl)-1,3-thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-76-8P, Ethyl 5-[2-(2-methylaminopyridin-4-yl)-1,3-thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-79-1P, 2-Methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 727383-81-5P, 6-Methyl-5-[(4-methyl-1-piperazinyl)carbonyl]-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone 727383-82-6P, 2-(Pyrrolidin-1-yl)ethyl 2-methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylate 727383-84-8P, 2-(Pyrrolidin-1-yl)ethyl 2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylate 727383-85-9P, 6-Ethyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-86-0P, 6-Isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-87-1P, 3-(Diethylamino)propyl 2-ethyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-88-2P, 3-(Diethylamino)propyl 2-(1-methylethyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-91-7P, 5-[(3,6-Dihydro-2H-pyridin-1-yl)methyl]-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-94-0P, 6-Ethyl-5-[(piperidin-1-yl)methyl]-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one hydrochloride 727383-96-2P, 6-Ethyl-5-(4-methylpiperazin-1-ylmethyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one hydrochloride 727383-97-3P, 6-Methyl-3-[4-(pyridin-4-yl)thiazol-2-yl]-1H-pyridin-2-one 727383-98-4P, 6-Ethyl-5-isobutylamino-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-01-2P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridin-3-yl]isobutyramide 727384-03-4P, 6-Isopropyl-5-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-06-7P, 3-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-6-isopropyl-5-methyl-1H-pyridin-2-one 727384-08-9P, 6-Ethyl-5-propionyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-10-3P, 3-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-6-ethyl-5-propionyl-1H-pyridin-2-one 727384-11-4P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-dimethylaminoethyl ester 727384-13-6P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(pyrrolidin-1-yl)ethyl ester 727384-14-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(2-oxopyrrolidin-1-yl)ethyl ester 727384-15-8P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-diisopropylaminoethyl ester 727384-16-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-diethylaminoethyl ester 727384-17-0P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-methylpyrrolidin-3-yl ester 727384-18-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-ethylpyrrolidin-3-yl ester 727384-19-2P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-ethylpiperidin-3-yl ester 727384-20-5P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid piperidin-4-ylmethyl ester 727384-22-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(1-methylpyrrolidin-2-yl)ethyl ester 727384-23-8P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-methylpiperidin-3-yl ester 727384-24-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-dimethylamino-1-methylethyl ester 727384-25-0P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-diethylamino-1-methylethyl ester 727384-26-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-[(benzyl)(methyl)amino]ethyl ester 727384-27-2P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-methylpiperidin-4-yl ester

727384-28-3P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(piperazin-1-yl)ethyl ester 727384-29-4P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(2-oxopyrrolidin-1-yl)propyl ester 727384-30-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid phenethyl ester 727384-32-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(thiophen-2-yl)ethyl ester 727384-33-0P, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-diethylaminoethyl ester 727384-36-3P, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-diethylamino-1-methylethyl ester 727384-37-4P, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-diethylaminopropyl ester 727384-38-5P, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-(1-methylpyrrolidin-2-yl)ethyl ester 727384-39-6P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(morpholin-4-yl)ethyl ester 727384-40-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(piperidin-1-yl)ethyl ester 727384-41-0P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid methyl ester 727384-42-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid methyl ester trifluoroacetate 727384-43-2P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid propyl ester 727384-44-3P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid propyl ester trifluoroacetate 727384-45-4P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid butyl ester 727384-46-5P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid butyl ester trifluoroacetate 727384-47-6P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid isobutyl ester 727384-48-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid isobutyl ester trifluoroacetate 727384-49-8P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid sec-butyl ester 727384-50-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid sec-butyl ester trifluoroacetate 727384-55-6P, 5-(4,5-Dihydrooxazol-2-yl)-6-isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-56-7P, 6-Isopropyl-5-(5-methyl-4,5-dihydrooxazol-2-yl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-57-8P, 5-[[(2-Dimethylaminoethyl)(ethyl)amino]methyl]-6-ethyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-59-0P, 5-[[(2-Diethylaminoethyl)(methyl)amino]methyl]-6-ethyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-66-9P, 6-Oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-2-[2-(pyrrolidin-1-yl)ethyl]-1,6-dihydropyridine-3-carboxylic acid ethyl ester 727384-68-1P, 2-Isopropyl-N-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxamide 727384-69-2P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid amide 727384-70-5P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid isobutylamide 727384-72-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid methylamide 727384-73-8P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid (2-isopropylaminoethyl)amide 727384-74-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid dimethylamide 727384-75-0P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid N-(pyridin-4-ylmethyl)amide 727384-76-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid N-(pyridin-2-ylmethyl)amide 727384-78-3P, 5-(Furan-2-yl)-6-isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-83-0P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-

thiazol-4-yl]-1,6-dihydropyridin-3-yl]-2-methylaminoacetamide 727384-84-1P, 2-Dimethylamino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727384-85-2P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-3-(piperidin-1-yl)propionamide 727384-86-3P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-3-methylbutyramide 727384-87-4P, 2-Amino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727384-88-5P, 2-tert-Butylamino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727384-89-6P, (S)-2-Amino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-3-methylbutyramide 727384-90-9P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-2-(piperidin-1-yl)acetamide 727384-92-1P
, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-4-(piperidin-1-yl)butyramide 727384-93-2P, 5-(1,1-Dioxidoisothiazolidin-2-yl)-6-ethyl-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone 727384-94-3P, 6-Ethyl-5-(3-methylbutylamino)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-95-4P, Ethyl 5-[2-[2-[(fur-2-ylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-96-5P, Ethyl 5-[2-[2-[[2-(thien-2-yl)ethyl]amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-97-6P, Ethyl 5-[2-(2-butylaminopyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-98-7P, Ethyl 5-[2-[2-[(carbamoylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-99-8P, Ethyl 5-[2-(2-acetylaminoethylamino)pyridin-4-yl-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-00-4P, 5-[2-[2-[(Cyclopropylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid N-(cyclopropylmethyl)amide 727385-02-6P, Ethyl 5-[2-[2-[(cyclopropylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-03-7P, Ethyl 5-[2-[2-[(Cyclopentylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-04-8P, 5-[2-[2-(4-Methoxybenzylamino)pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 4-methoxybenzylamide 727385-05-9P, 6-Methyl-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]hydropyridin-2-one 727385-06-0P, Ethyl 5-[2-(2-methylaminopyridin-4-yl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-07-1P, Ethyl 2-methyl-5-[2-[2-[[2-[(1-methylethyl)amino]ethyl]amino]-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-08-2P, Ethyl 2-isopropyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate hydrobromide (3/5)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT 141349-86-2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(Cdk2/cyclin; inhibition; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT 147014-96-8
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT 19335-57-0P 24922-02-9P 25957-23-7P, 5-Acetyl-2-methyl-6-oxo-1,6-dihydropyridine 31112-96-6P, 2-[2-(Pyridin-4-yl)thiazol-4-yl]acetamide 36674-49-4P, 2-Benzenesulfonyl-2-methylpropionitrile 51145-57-4P, Ethyl 2-acetyl-3-(dimethylamino)prop-2-enoate 51719-12-1P, N-(4-Methoxybenzyl)acetoacetamide 55985-43-8P, 3-Oxobutanoic acid 2-(pyrrolidin-1-yl)ethyl ester 59503-67-2P, Ethyl 5-acetyl-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 67354-34-1P, Ethyl 3-oxo-4-(phenylmethoxy)butanoate 88301-99-9P, 4-

[(Dimethylamino)methylene]heptane-3,5-dione 89193-23-7P, Ethyl 2-propionyl-3-(dimethylamino)prop-2-enoate 93552-74-0P, 2-[(Dimethylamino)methylene]-3-oxobutanoic acid tert-butyl ester 116344-09-3P, Ethyl 3-(dimethylamino)-2-(2-methylpropanoyl)prop-2-enoate 154020-52-7P, Ethyl 5-acetyl-2-ethyl-6-oxo-1,6-dihydropyridine-3-carboxylate 154020-53-8P, Ethyl 5-acetyl-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylate 154020-54-9P, Ethyl 5-acetyl-2-trifluoromethyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 247169-71-7P, 3-Acetyl-6-ethyl-5-propionyl-1H-pyridin-2-one 267243-86-7P, Ethyl 2-trifluoroacetyl-3-(dimethylamino)prop-2-enoate 475115-38-9P, 5-Acetyl-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid tert-butyl ester 475115-40-3P, Ethyl 5-acetyl-2-propyl-6-oxo-1,6-dihydropyridine-3-carboxylate 578020-10-7P, 2-Amino-1,1-dimethyl-1-(phenylsulfonyl)ethane-2-thione 632365-67-4P, 1-Dimethylamino-2,4-dimethylpent-1-en-3-one 727382-47-0P, Ethyl 5-(2-bromoacetyl)-2-ethyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727382-52-7P, Ethyl 5-(2-bromoacetyl)-2-trifluoromethyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727382-59-4P, Ethyl 5-(2-bromoacetyl)-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727382-63-0P, Ethyl 2-propyl-3-(dimethylamino)prop-2-enoate 727382-64-1P, Ethyl 5-(2-bromoacetyl)-2-propyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727382-68-5P 727382-69-6P, Ethyl 5-acetyl-6-oxo-2-[(phenylmethoxy)methyl]-1,6-dihydropyridine-3-carboxylate 727382-70-9P, Ethyl 5-(2-bromoacetyl)-6-oxo-2-[(phenylmethoxy)methyl]-1,6-dihydropyridine-3-carboxylate 727382-73-2P 727382-75-4P, 3-[(Dimethylamino)methylene]-2H-5,6-dihydropyran-4-one 727382-77-6P 727382-81-2P, Ethyl 4-[(4-methoxyphenyl)methoxy]-3-oxobutanoate 727382-82-3P, Ethyl 3-(dimethylamino)-2-[2-[(4-methoxyphenyl)methoxy]acetyl]prop-2-enoate 727382-83-4P, Ethyl 5-acetyl-2-[[(4-methoxyphenyl)methoxy]methyl]-6-oxo-1,6-dihydropyridine-3-carboxylate 727382-84-5P, Ethyl 5-(2-bromoacetyl)-2-[[(4-methoxyphenyl)methoxy]methyl]-6-oxo-1,6-dihydropyridine-3-carboxylate 727382-86-7P, 5-(2-Bromoacetyl)-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid ethyl ester 727383-41-7P, 2-Methoxythioisonicotinamide 727383-48-4P, 2-(Cyclopropylcarbonyl)-3-dimethylaminoacrylic acid ethyl ester 727383-49-5P, Ethyl 5-acetyl-2-cyclopropyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727383-50-8P, Ethyl 5-(2-bromoacetyl)-2-cyclopropyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727383-54-2P, 5-Acetyl-3-bromo-2-methyl-6-oxo-1,6-dihydropyridine 727383-59-7P, Ethyl 5-acetyl-2-ethyl-1-(4-methoxybenzyl)-6-oxo-1,6-dihydropyridine-3-carboxylate 727383-60-0P, Ethyl 5-(2-bromoacetyl)-2-ethyl-1-(4-methoxybenzyl)-6-oxo-1,6-dihydropyridine-3-carboxylate 727383-61-1P, Ethyl 2-ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-62-2P, 2-Ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylic acid 727383-63-3P, [2-Ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]carbamic acid tert-butyl ester 727383-64-4P, 5-Amino-6-ethyl-1-(4-methoxybenzyl)-3-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-83-7P, 2-[(Dimethylamino)methylene]-3-Oxobutanoic acid 2-(pyrrolidin-1-yl)ethyl ester 727383-90-6P, 5-[(Imidazol-1-yl)carbonyl]-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-92-8P, 6-Ethyl-5-hydroxymethyl-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-93-9P, 6-Ethyl-1-(4-methoxybenzyl)-5-[(piperidin-1-yl)methyl]-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-95-1P, 6-Ethyl-1-(4-methoxybenzyl)-5-[(4-methylpiperazin-1-yl)methyl]-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-00-1P, 6-Ethyl-5-isobutylamino-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-02-3P, N-[2-Ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridin-3-yl]isobutyramide 727384-05-6P, 3-Acetyl-6-isopropyl-5-methyl-1H-pyridin-2-one 727384-09-0P, 3-(2-Bromoacetyl)-6-ethyl-5-propionyl-1H-pyridin-2-one 727384-12-5P, 5-[(Imidazol-1-yl)carbonyl]-6-isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-21-6P 727384-34-1P, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydro-3-pyridinecarboxylic acid 727384-35-2P, 3-[2-(Benzenesulfonylmethyl)thiazo

1-4-yl]-5-[(imidazol-1-yl)carbonyl]-6-isopropyl-1H-pyridin-2-one 727384-58-9P, 5-[[(2-Dimethylaminoethyl)(ethyl)amino]methyl]-6-ethyl-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-60-3P, 5-[[(2-Diethylaminoethyl)(methyl)amino]methyl]-6-ethyl-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-62-5P, 5-Benzyloxy-2-[(dimethylamino)methylene]-3-oxopentanoic acid ethyl ester 727384-63-6P, 5-Acetyl-2-(2-benzyloxyethyl)-6-oxo-1,6-dihydropyridine-3-carboxylic acid ethyl ester 727384-79-4P, 3-Acetyl-5-bromo-6-isopropyl-1H-pyridin-2-one 727384-81-8P, 3-Acetyl-5-(furan-2-yl)-6-isopropyl-1H-pyridin-2-one 727384-82-9P, 3-(2-Bromoacetyl)-5-(furan-2-yl)-6-isopropyl-1H-pyridin-2-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT 60-12-8, 2-Phenylethanol 78-77-3, Isobutyl bromide 78-81-9, Isobutylamine 78-96-6, 2-Hydroxypropylamine 79-30-1, Isobutyryl chloride 96-32-2, Methyl bromoacetate 96-80-0, 2-Diisopropylaminoethanol 98-09-9, Benzenesulfonyl chloride 100-37-8, 2-Diethylaminoethanol 104-79-0, N,N-Diethyl-N'-methylethane-1,2-diamine 105-13-5, 4-Methoxybenzyl alcohol 106-52-5, 1-Methylpiperidin-4-ol 108-01-0, 2-Dimethylaminoethanol 108-16-7, 1-Dimethylaminopropan-2-ol 109-01-3, 1-Methylpiperazine 110-86-1, Pyridine, reactions 110-89-4, Piperidine, reactions 123-75-1, Pyrrolidine, reactions 123-83-1, N'-Ethyl-N,N-dimethylethane-1,2-diamine 140-75-0, 4-Fluorobenzylamine 141-97-9, Ethyl acetoacetate 372-31-6, Ethyl 4,4,4-trifluoroacetoacetate 503-74-2, 3-Methylbutyric acid 530-62-1 536-33-4 565-69-5, 2-Methylpentan-3-one 609-15-4, Ethyl 2-chloroacetoacetate 617-89-0, [(Furan-2-yl)methyl]amine 622-40-2, 2-(Morpholin-4-yl)ethanol 622-93-5, 3-Diethylaminopropan-1-ol 765-43-5, Cyclopropyl methyl ketone 1001-53-2, N-(2-Aminoethyl)acetamide 1118-68-9, Dimethylaminoacetic acid 1190-91-6, 4-(Dimethylamino)but-3-en-2-one 1445-73-4, 1-Methyl-4-piperidone 1633-82-5, 3-Chloropropane-1-sulfonyl chloride 1758-46-9, 2-Phenoxyethylamine 1918-13-4, 2,6-Dichlorothiobenzamide 2196-13-6, Isothionicotinamide 2227-79-4, Thiobenzamide 2393-23-9, 4-Methoxybenzylamine 2516-47-4, (Cyclopropylmethyl)amine 2802-08-6, trans-4-(Dimethylamino)-3-buten-2-one 2955-88-6, 1-(2-Hydroxyethyl)pyrrolidine 3040-44-6, 2-(Piperidin-1-yl)ethanol 3235-67-4, Piperidin-1-ylacetic acid 3249-68-1, Ethyl butyrylacetate 3445-11-2, 2-(2-Oxopyrrolidin-1-yl)ethanol 3554-74-3, 1-Methylpiperidin-3-ol 3731-51-9, 2-Aminomethylpyridine 3731-52-0, (3-Pyridylmethyl)amine 3731-53-1, (Pyridin-4-ylmethyl)amine 4241-27-4, 3-Cyano-6-methyl-2(1H)-pyridinone 4402-32-8, 1-Diethylaminopropan-2-ol 4637-24-5 4672-16-6, 4-(Piperidin-1-yl)butyric acid 4949-44-4, Ethyl propionylacetate 5349-17-7, 4-(Bromoacetyl)pyridine hydrobromide 5402-55-1, 2-(Thiophen-2-yl)ethanol 5977-14-0, Acetoacetamide 6053-81-2, (Cyclopentylmethyl)amine 7152-15-0, Ethyl isobutyrylacetate 7424-54-6, Heptane-3,5-dione 7605-28-9, 2-(Phenylsulfonyl)acetonitrile 13220-33-2, 1-Methylpyrrolidin-3-ol 13331-23-2, (2-Furanyl)boronic acid 13444-24-1, 1-Ethylpiperidin-3-ol 13734-36-6, [[(tert-Butoxycarbonyl)methyl]amino]acetic acid 13734-41-3 15884-65-8, Benzodioxole-5-carbothioic acid amide 19099-93-5, Benzyl 4-oxo-1-piperidinecarboxylate 19522-67-9, 2-Isopropylaminoethylamine 22179-72-2, 4-Fluorothiobenzamide 24044-76-6, 3-Thiophenecarbothioamide 26371-07-3, 3-(Piperidin-1-yl)propionic acid 29943-42-8, Tetrahydro-4H-pyran-4-one 30433-91-1, [2-(Thiophen-2-yl)ethyl]amine 30727-14-1, 1-Ethylpyrrolidin-3-ol 32807-28-6, Methyl 4-chloroacetoacetate 33252-30-1, 2-Chloro-4-cyanopyridine 41361-28-8, 1-Ethyl-3-piperidone hydrochloride 51451-44-6, 2-(3-Pyridinyl)thioacetamide 51731-17-0, trans-4-Methoxy-3-buten-2-one 53300-47-3, 2-Methylsulfonylthioacetamide 54334-57-5, 2-(Phenylsulfonyl)ethanethioamide 58482-93-2 59865-82-6, 2-Phenylsulfanylthioacetamide 59865-87-1, 2-(4-Chlorobenzenesulfonyl)thioacetamide 60759-02-6, 4-Methoxyphenylthioacetamide 62012-15-1, 3-(2-Oxopyrrolidin-1-yl)propanol 64714-79-0, 5-Benzyloxy-3-oxopentanoic acid ethyl ester 66521-58-2

67004-64-2, 2-(1-Methylpyrrolidin-2-yl)ethanol 72716-86-0, 2-Methoxy-4-isonicotinonitrile 74093-60-0, 3-(Dimethylamino)-2-phenoxyprop-2-enal 77279-24-4, 4-(2-Hydroxyethyl)piperazine-1-carboxylic acid tert-butyl ester 79099-07-3, tert-Butyl 4-oxo-1-piperidinecarboxylate 80882-52-6, 2-Dimethylamino-4-isonicotinonitrile 91447-89-1, 2-Chloroisothionicotinamide 92303-09-8 123855-51-6, 4-Hydroxymethylpiperidine-1-carboxylic acid tert-butyl ester 137225-13-9, 2-Methylamino-4-isonicotinonitrile 143462-35-5, 3-(Dimethylamino)-2-(phenylmethoxy)prop-2-enal 174223-29-1, 2-Methylthiazole-4-carbothioic acid amide 175202-34-3, 2-(2-Thienylsulfonyl)ethanethioamide 175202-41-2, 2-(Furan-2-ylmethanesulfonyl)thioacetamide 175204-46-3, 2,6-Dichloroisothionicontinamide 175276-83-2 175276-88-7, 2-[(4-Fluorophenylmethyl)sulfonyl]thioacetamide 175276-91-2, 2-(2-Pyridylsulfonyl)ethanethioamide 175277-31-3, 2-(tert-Butylsulfonyl)thioacetamide 254982-01-9, 3-[(4-Chlorobenzenesulfonyl)methyl]thiophene-2-carbothioic acid amide 265314-18-9, 3-(2-Oxo-3-trifluoromethyl-2H-pyridin-1-yl)thiopropionamide 727382-54-9 727382-91-4, 2-Thienylthioamide 727383-36-0, 4-(Dimethylamino)-1-methoxybut-3-en-2-one 727383-55-3, 5-(2-Bromoacetyl)-3-bromo-2-methyl-6-oxo-1,6-dihydropyridine 727383-57-5 727383-67-7 727383-74-6, 5-[2-(2-Chloropyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 727383-78-0, 5-(2-Bromoacetyl)-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid tert-butyl ester 727383-99-5, Ethyl (2Z)-2-propionyl-3-(dimethylamino)prop-2-enoate 727384-07-8, 3-(2-Bromoacetyl)-6-isopropyl-5-methyl-1H-pyridin-2-one 727384-64-7, 2-(2-Benzyloxyethyl)-5-(2-bromoacetyl)-6-oxo-1,6-dihydropyridine-3-carboxylic acid ethyl ester 727384-67-0 727384-80-7, 3-Acetyl-6-isopropyl-1H-pyridin-2-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT 634250-92-3 634250-93-4 634250-94-5, 3: PN: WO03101985 SEQID: 3 unclaimed DNA 634250-95-6 634250-96-7 634250-97-8 634250-98-9 634250-99-0 634251-00-6 634251-01-7 634251-02-8 634251-03-9
RL: PRP (Properties)
(unclaimed nucleotide sequence; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

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AN 2004-553343 [53] WPIX
DNC C2004-202500
TI New 2-oxopyridin-3-yl thia(di)azole derivatives, useful for treatment of e.g. cell proliferation, cancer, neurological disorder and apoptosis, are serine kinase inhibitors.
DC B03
IN KALLER, M; NGUYEN, T; NORMAN, M H; RZASA, R M; TEGLEY, C; WANG, H; ZHONG, W
PA (KALL-I) KALLER M; (NGUY-I) NGUYEN T; (NORM-I) NORMAN M H; (RZAS-I) RZASA R M; (TEGL-I) TEGLEY C; (WANG-I) WANG H; (ZHON-I) ZHONG W; (AMGE-N) AMGEN INC
CYC 106
PI WO 2004060890 A1 20040722 (200453)* EN 317 C07D417-14
RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG UZ VC VN YU ZA ZM ZW
US 2004147561 A1 20040729 (200453) C07D211-84 <--
AU 2003299980 A1 20040729 (200477) C07D417-14
ADT WO 2004060890 A1 WO 2003-US41388 20031222; US 2004147561 A1 **Provisional US 2002-436787P 20021227**, US 2003-736289 20031212; AU 2003299980 A1 AU 2003-299980 20031222
FDT AU 2003299980 A1 Based on WO 2004060890
PRAI US 2003-736289 20031212; **US 2002-436787P 20021227**
IC ICM C07D211-84; C07D417-14
ICS A61K031-4412; A61K031-4439; A61P035-00; C07D417-04; C07D471-04; C07D491-04
AB WO2004060890 A UPAB: 20040818
NOVELTY - Dihydropyridin-3-yl-thia(di)azole derivatives (I) are new.
DETAILED DESCRIPTION - Dihydropyridin-3-yl-thia(di)azole derivatives of formula (I) and their derivatives are new.
A = O or S;
Q = aryl or monocyclic or bicyclic nonaromatic carbocycle, heteroaryl or non-aromatic heterocycle (all optionally substituted by one or more Q1), N(R5)2, NR5C(O)R5, (1-8C alkyl)-OR5, (1-8C alkyl)- S(O)nR6 or NR4SO2R6;
Q1 = 1-8C alkyl, 2-8C alkynyl, 2-8C alkenyl, OR5, methylenedioxy, ethylenedioxy, N(R5)2, (1-8C alkyl)-N(R5)2, 1-8C haloalkyl, lower cyanoalkyl, (1-8C alkyl)-OR5, lower alkylaminoalkoxy, lower aminoalkoxyalkyl, (1-8C alkyl)-S(O)nR5, NR5-1-8C alkylene-N(R5)2, NR5-1-8C alkylene-OR5, NR5-1-8C alkylene-NHC(O)R5, NR5-1-8C alkylene-C(O)N(R5)2, lower alkoxyalkyl, S(O)nR5, SO2N(R5)2, NR5S(O)nR5, CN, NO2, 3-10C cycloalkyl, aryl, 4-7 membered heterocyclyl, phenylalkyl, heterocyclylalkyl, phenoxyalkyl or heterocyclyloxyalkyl (all optionally substituted), C(O)N(R5)2, CO2R5, CO2N(R5)2, SO2NHC(O)R5, NR5C(O)N(R5)2, NR5C(O)R5, NR5CO2R5 or C(O)R5;
W = 4,2-thiazolylene or 5,3-(1,2,4)thiadiazolylene;
R1-R3 = H, OR6, halo, aryl, 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, 1-8C perfluoroalkyl, N(R5)2, (1-8C alkyl)-N(R5)2, (1-8C alkyl)-OR5, S(O)n-alkyl, S(O)n-aryl, S(O)n-heteroaryl, 3-10C cycloalkyl, NO2, heterocyclyl, NR5SO2R5, C(O)N(R5)2, CO2R5, (C(R5)2)m-aryl, (C(R5)2)m-heterocyclyl, NR5C(O)N(R5)2, NR5C(O)R5, NR5CO2R5 or C(O)R5; or
R1+R2 or R2+R3 = 5-10 membered optionally unsaturated carbocyclic or heterocyclic ring;

R4 = H or 1-6C alkyl;
R5 = H or T;
T = aryl, aralkyl, heterocyclyl, heterocyclylalkyl, 3-6C cycloalkyl or 3-6C cycloalkyl-alkyl (all optionally substituted), lower alkyl, lower alkylamino-lower alkyl, aryloxyalkyl, alkylcarbonylalkyl or lower perfluoroalkyl;
R6 = T;
m = 1-8; and
n = 0-2.

where (hetero)aryl, cycloalkyl, heterocyclyl moiety of any R1-R3, R5, R6 and Q may be optionally substituted by one or more halogen, NH2, OH, CO2H, 1-6C alkylamino, 1-6C alkoxy, 1-6C alkoxyalkyl, 1-6C alkyl, di(1-6C alkylamino), phenyl or heterocyclyl;
provided that

(a) R1 is not CF3 when R2 = ethoxycarbonyl, R3 = H, W = thiazolylene and Q = 4-pyridyl or 2-chloro-4-pyridyl;

(b) Q is not 4-pyridyl when W = thiazolylene and R1-R3 = H;

(c) Q is not 2-nitro-5-furyl when W = thiazolylene, R1 = methyl and R2, R3 = H;

(d) Q is not phenyl when W = thiazolylene, R1, R3 = methyl and R2 = H;

(e) Q is not phenyl, 3,4-diacetylphenyl or 3,4-dihydroxyphenyl when W = thiazolylene and R1-R3 = H; and

(f) Q is not 3-cyano-6-methyl-2-oxo-1,2-dihydro-5-pyridyl when W = thiazolylene, R1 = methyl, R3 = H and R2 = acetyl.

ACTIVITY - Cytostatic; Neuroprotective; Immunostimulant; Anti-HIV; Immunomodulator; Dermatological; Nephrotropic; Antirheumatic; Antiarthritic; Antidiabetic; Antianemic; Vasotropic; Cerebroprotective; Ophthalmological; Antiarrhythmic; Antiarteriosclerotic; Hepatotropic; Muscular-Gen.; Osteopathic; Antiinflammatory; CNS-Gen.; Respiratory-Gen.; Analgesic.

MECHANISM OF ACTION - Serine kinase inhibitor; Threonine kinase inhibitor; Cyclin dependent kinase 5 (CDK5) inhibitor; CDK2 inhibitor.

(I) were assessed for CDK inhibitory activity in insect cells. The median inhibitory concentration of ethyl 2-ethyl-6-oxo-5-(2-(4-pyridyl)(1,3-thiazol-4-yl)-1,6-dihydro-3-pyridinecarboxylate was 0.5 micro M.

USE - (I) are useful for the preparation of medicament for the treatment of cell proliferation, cancer, neurological disorder or apoptosis in human or animal and as anti-neoplastic agents (all claimed). (I) may also be used for the prevention of AIDS development in HIV-infected individuals, autoimmune disorders (e.g. systemic lupus erythematosus, autoimmune mediated glomerulonephritis, rheumatoid arthritis and autoimmune diabetes mellitus), myelodysplastic syndromes, aplastic anemia, ischemic injury associated with myocardial infarction, stroke and reperfusion injury, vision related disorders (e.g. glaucoma and macular degeneration), arrhythmia, atherosclerosis, toxin-induced or alcohol related liver diseases, hematological diseases (e.g. chronic or aplastic anemia), degenerative diseases of the musculo-skeletal system (e.g. osteoporosis), aspirin-sensitive rhino-sinusitis, cystic fibrosis, kidney diseases and cancer pain.

ADVANTAGE - (I) are strongly inhibitory against various kinases.

Dwg.0/0

FS CPI
FA AB; GI; DCN
MC CPI: B06-H; B07-D04D; B14-A02B1; B14-C01; B14-C09B; B14-D06; B14-F01A; B14-F01E; B14-F02D; B14-F03; B14-F05; B14-F07; B14-G01B; B14-G02D; B14-H01B; B14-J01; B14-J02; B14-J05; B14-K01; B14-N01; B14-N03; B14-N04; B14-N10; B14-N12; B14-N16; B14-S04

=> b home
FILE 'HOME' ENTERED AT 14:50:10 ON 18 JUL 2005

=>

```
=> d his full

     (FILE 'HOME' ENTERED AT 14:47:55 ON 18 JUL 2005)

     FILE 'HCAPLUS' ENTERED AT 14:48:09 ON 18 JUL 2005
L1             1 SEA ABB=ON  PLU=ON  US20040147561/PN OR US2002-436787#/AP,PRN
               D KWIC

     FILE 'REGISTRY' ENTERED AT 14:49:14 ON 18 JUL 2005

     FILE 'HCAPLUS' ENTERED AT 14:49:16 ON 18 JUL 2005
L2             TRA L1 1- RN :      403 TERMS

     FILE 'REGISTRY' ENTERED AT 14:49:16 ON 18 JUL 2005
L3           403 SEA ABB=ON  PLU=ON  L2

     FILE 'WPIX' ENTERED AT 14:49:20 ON 18 JUL 2005
L4             1 SEA ABB=ON  PLU=ON  US20040147561/PN OR US2002-436787#/AP,PRN

     FILE 'REGISTRY' ENTERED AT 15:05:36 ON 18 JUL 2005
L5               STR
L6               STR L5
L7             0 SEA CSS SAM L6
L8               SCR 1839
L9               SCR 1583
L10              SCR 1263 OR 1270
L11              STR L6
L12            0 SEA CSS SAM L11 AND L8 AND L10
               E NSCNC/ES
L13        20979 SEA ABB=ON  PLU=ON  NSCNC/ES
L14            2 SEA ABB=ON  PLU=ON  C20H23N3S AND L13
L15        31908 SEA ABB=ON  PLU=ON  NCSC2/ES AND NR=1
L16        22693 SEA ABB=ON  PLU=ON  NR>=2 AND NC5/ES AND (16.299.11 OR
               16.520.14)/RID
L17           20 SEA SUB=L16 SSS SAM L11
L18          388 SEA SUB=L16 SSS FUL L11
               SAV TEM DAV289F0/A L18

     FILE 'HCAPLUS' ENTERED AT 15:33:09 ON 18 JUL 2005
L19           25 SEA ABB=ON  PLU=ON  L18
               E ZHONG W/AU
L20          281 SEA ABB=ON  PLU=ON  ("ZHONG W"/AU OR "ZHONG W B"/AU OR "ZHONG
               W D"/AU OR "ZHONG W H"/AU OR "ZHONG W J"/AU OR "ZHONG W L"/AU
               OR "ZHONG W M"/AU OR "ZHONG W R"/AU OR "ZHONG W W"/AU OR
               "ZHONG W WILLIAM"/AU OR "ZHONG W Z"/AU)
               E ZHONG WENGE/AU
L21           17 SEA ABB=ON  PLU=ON  "ZHONG WENGE"/AU
               E NORMAN M/AU
L22           37 SEA ABB=ON  PLU=ON  ("NORMAN M"/AU OR "NORMAN M H"/AU)
               E NORMAN HENRY/AU
               E NORMAN MARK/AU
L23           67 SEA ABB=ON  PLU=ON  ("NORMAN MARK"/AU OR "NORMAN MARK H"/AU OR
               "NORMAN MARK HENRY"/AU)
               E NORMAN MARCUS/AU
               E KALLER M/AU
L24            9 SEA ABB=ON  PLU=ON  ("KALLER M R"/AU OR "KALLER MATT"/AU OR
               "KALLER MATTHEW"/AU OR "KALLER MATTHEW R"/AU)
               E NGUYEN T/AU
L25          989 SEA ABB=ON  PLU=ON  ("NGUYEN T"/AU OR "NGUYEN T A"/AU OR
               "NGUYEN T ANH"/AU OR "NGUYEN T B"/AU OR "NGUYEN T BUU"/AU OR
               "NGUYEN T C"/AU OR "NGUYEN T D"/AU OR "NGUYEN T DUNG"/AU OR
               "NGUYEN T G"/AU OR "NGUYEN T H"/AU OR "NGUYEN T H B"/AU OR
               "NGUYEN T H BRUCE"/AU OR "NGUYEN T H L"/AU OR "NGUYEN T H
               LY"/AU OR "NGUYEN T H T"/AU OR "NGUYEN T H Y"/AU OR "NGUYEN T
               HIEN"/AU OR "NGUYEN T HIEP"/AU OR "NGUYEN T HUNG"/AU OR
               "NGUYEN T K"/AU OR "NGUYEN T K A"/AU OR "NGUYEN T K D"/AU OR
```

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                "NGUYEN T K DZUNG"/AU OR "NGUYEN T K PHUONG"/AU OR "NGUYEN T
                L"/AU OR "NGUYEN T L UYEN"/AU OR "NGUYEN T LEN"/AU OR "NGUYEN
                T M"/AU OR "NGUYEN T M A"/AU OR "NGUYEN T M D"/AU OR "NGUYEN T
                MAI DUNG"/AU OR "NGUYEN T MINH"/AU OR "NGUYEN T MINH N"/AU OR
                "NGUYEN T N"/AU OR "NGUYEN T N M"/AU OR "NGUYEN T P"/AU OR
                "NGUYEN T PAUL"/AU OR "NGUYEN T PHU"/AU OR "NGUYEN T Q"/AU OR
                "NGUYEN T S"/AU OR "NGUYEN T T"/AU OR "NGUYEN T T A"/AU OR
                "NGUYEN T T ANH"/AU OR "NGUYEN T T D"/AU OR "NGUYEN T T H"/AU
                OR "NGUYEN T T T"/AU OR "NGUYEN T T TAM"/AU OR "NGUYEN T T
                TUYEN"/AU OR "NGUYEN T THI"/AU OR "NGUYEN T THUONG"/AU OR
                "NGUYEN T TRANG"/AU OR "NGUYEN T U"/AU OR "NGUYEN T V"/AU OR
                "NGUYEN T VAN"/AU OR "NGUYEN T X"/AU OR "NGUYEN T X C"/AU OR
                "NGUYEN T X Q"/AU OR "NGUYEN T Z"/AU)
                E NGUYEN TOM/AU
L26          10 SEA ABB=ON  PLU=ON  ("NGUYEN TOM"/AU OR "NGUYEN TOM P"/AU OR
                "NGUYEN TOM T"/AU)
                E NGUYEN THOMAS/AU
L27          37 SEA ABB=ON  PLU=ON  ("NGUYEN THOMAS"/AU OR "NGUYEN THOMAS
                A"/AU OR "NGUYEN THOMAS B"/AU OR "NGUYEN THOMAS D"/AU OR
                "NGUYEN THOMAS T"/AU OR "NGUYEN THOMAS THE"/AU OR "NGUYEN
                THOMAS X T"/AU)
                E RZASA R.AU
                E RZASA R/AU
L28          18 SEA ABB=ON  PLU=ON  ("RZASA R M"/AU OR "RZASA ROBERT"/AU OR
                "RZASA ROBERT M"/AU OR "RZASA ROBERT MICHAEL"/AU)
                E TEGLEY C/AU
L29          49 SEA ABB=ON  PLU=ON  ("TEGLEY C M"/AU OR "TEGLEY CHRISTOPHER"/AU
                 OR "TEGLEY CHRISTOPHER M"/AU)
                E WANG H.AU
                E WANG H/AU
L30        1773 SEA ABB=ON  PLU=ON  ("WANG H"/AU OR "WANG H L"/AU)
                E WANG HUI/AU
L31        1298 SEA ABB=ON  PLU=ON  ("WANG HUI"/AU OR "WANG HUI LIN"/AU OR
                "WANG HUI LING"/AU)
                E WANG HUILIN/AU
L32          53 SEA ABB=ON  PLU=ON  ("WANG HUILIN"/AU OR "WANG HUILING"/AU)
                E AMGEN/CS,PA
L33        2002 SEA ABB=ON  PLU=ON  AMGEN/CS,PA
L34           2 SEA ABB=ON  PLU=ON  L19 AND (L20 OR L21 OR L22 OR L23 OR L24
                OR L25 OR L26 OR L27 OR L28 OR L29 OR L30 OR L31 OR L32 OR
                L33)
L35          23 SEA ABB=ON  PLU=ON  L19 NOT L34
                SEL HIT RN L35

     FILE 'REGISTRY' ENTERED AT 15:39:28 ON 18 JUL 2005
L36          67 SEA ABB=ON  PLU=ON  (216011-87-9/BI OR 191166-45-7/BI OR
                216012-90-7/BI OR 216012-93-0/BI OR 216970-48-8/BI OR 216970-55
                -7/BI OR 380830-41-1/BI OR 101367-61-7/BI OR 137310-12-4/BI OR
                145737-03-7/BI OR 145738-49-4/BI OR 145738-51-8/BI OR 185950-50
                -9/BI OR 200482-30-0/BI OR 214040-91-2/BI OR 216012-89-4/BI OR
                216970-58-0/BI OR 216970-59-1/BI OR 216970-60-4/BI OR 216970-61
                -5/BI OR 216970-63-7/BI OR 216970-64-8/BI OR 216970-68-2/BI OR
                216970-70-6/BI OR 216970-72-8/BI OR 216970-73-9/BI OR 216970-74
                -0/BI OR 216970-88-6/BI OR 216970-89-7/BI OR 216970-92-2/BI OR
                216970-93-3/BI OR 216971-04-9/BI OR 229184-02-5/BI OR 229184-03
                -6/BI OR 229184-04-7/BI OR 380830-42-2/BI OR 380830-43-3/BI OR
                380830-49-9/BI OR 433217-26-6/BI OR 433217-27-7/BI OR 433217-28
                -8/BI OR 433217-29-9/BI OR 433217-30-2/BI OR 489466-61-7/BI OR
                489466-62-8/BI OR 500165-82-2/BI OR 500165-86-6/BI OR 500166-04
                -1/BI OR 500166-05-2/BI OR 500725-33-7/BI OR 500725-34-8/BI OR
                500725-63-3/BI OR 500725-64-4/BI OR 500725-81-5/BI OR 500725-88
                -2/BI OR 500725-93-9/BI OR 500725-95-1/BI OR 503301-92-6/BI OR
                503301-93-7/BI OR 503302-02-1/BI OR 504393-01-5/BI OR 504393-03
                -7/BI OR 54317-44-1/BI OR 691387-29-8/BI OR 77691-74-8/BI OR
                87947-97-5/BI OR 92017-53-3/BI)
L37             STR L11
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L38          19 SEA SUB=L18 SSS SAM L37
L39         332 SEA SUB=L18 SSS FUL L37
                SAV TEM DAV289S0/A L39

     FILE 'HCAPLUS' ENTERED AT 15:55:14 ON 18 JUL 2005
L40          22 SEA ABB=ON  PLU=ON  L39
L41           2 SEA ABB=ON  PLU=ON  L40 AND (L20 OR L21 OR L22 OR L23 OR L24
                OR L25 OR L26 OR L27 OR L28 OR L29 OR L30 OR L31 OR L32 OR
                L33)
L42           2 SEA ABB=ON  PLU=ON  L34 OR L41
L43          20 SEA ABB=ON  PLU=ON  L40 NOT L42
                SEL HIT RN L43

     FILE 'REGISTRY' ENTERED AT 15:55:54 ON 18 JUL 2005
L44          22 SEA ABB=ON  PLU=ON  (216011-87-9/BI OR 191166-45-7/BI OR
                101367-61-7/BI OR 137310-12-4/BI OR 145737-03-7/BI OR 145738-49
                -4/BI OR 145738-51-8/BI OR 185950-50-9/BI OR 200482-30-0/BI OR
                214040-91-2/BI OR 380830-49-9/BI OR 489466-61-7/BI OR 489466-62
                -8/BI OR 500725-93-9/BI OR 500725-95-1/BI OR 503302-02-1/BI OR
                504393-01-5/BI OR 504393-03-7/BI OR 54317-44-1/BI OR 77691-74-8
                /BI OR 87947-97-5/BI OR 92017-53-3/BI)
                DEL SEL Y
                D SCA
L45          13 SEA ABB=ON  PLU=ON  L44 NOT (C16H14N2OS OR C22H18CLN3O2S OR
                C14H16N2O5S OR C14H10N2O3S OR C14H10N2OS OR C18H14N4O3S OR
                C13H9N3O4S OR C16H14N2OS)
                D SCA
L46          11 SEA ABB=ON  PLU=ON  L45 NOT (C18H14N2O5S OR C14H14N2O5S)
L47          13 SEA ABB=ON  PLU=ON  L44 AND (L45 OR L46)
L48           9 SEA ABB=ON  PLU=ON  L44 NOT L47
L49           2 SEA ABB=ON  PLU=ON  DIMETHOXYMETHYL AND L48

     FILE 'HCAPLUS' ENTERED AT 16:08:45 ON 18 JUL 2005
L50          11 SEA ABB=ON  PLU=ON  L46 AND L43
L51             QUE ABB=ON  PLU=ON  PY<=2002 OR PRY<=2002 OR AY<=2002
L52          10 SEA ABB=ON  PLU=ON  L50 AND L51
L53          11 SEA ABB=ON  PLU=ON  L50 OR L52

     FILE 'HCAOLD' ENTERED AT 16:09:49 ON 18 JUL 2005
L54           0 SEA ABB=ON  PLU=ON  L46

     FILE 'USPATFULL, USPAT2' ENTERED AT 16:09:56 ON 18 JUL 2005
L55           2 SEA ABB=ON  PLU=ON  L46
L56           8 SEA ABB=ON  PLU=ON  L39
L57           6 SEA ABB=ON  PLU=ON  L56 NOT L55
L58           2 SEA ABB=ON  PLU=ON  ("2004:190788"/AN OR "2004:294735"/AN) AND
                L57
L59           4 SEA ABB=ON  PLU=ON  L57 NOT L58
L60           4 SEA ABB=ON  PLU=ON  L59 AND L51
L61           4 SEA ABB=ON  PLU=ON  (L59 OR L60)

     FILE 'HCAOLD' ENTERED AT 16:15:15 ON 18 JUL 2005
L62           1 SEA ABB=ON  PLU=ON  L39

     FILE 'REGISTRY' ENTERED AT 16:15:29 ON 18 JUL 2005
L63           1 SEA ABB=ON  PLU=ON  92017-53-3/RN

=> b reg

FILE 'REGISTRY' ENTERED AT 16:16:53 ON 18 JUL 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.
```

Search done by Noble Jarrell

STRUCTURE FILE UPDATES: 17 JUL 2005 HIGHEST RN 855596-49-5
DICTIONARY FILE UPDATES: 17 JUL 2005 HIGHEST RN 855596-49-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

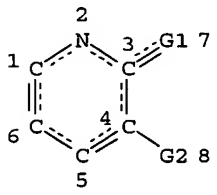
Please note that search-term pricing does apply when conducting SmartSELECT searches.

****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d que sta l39
L11 STR

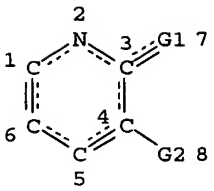


Hy @10 Hy @11

VAR G1=O/S
VAR G2=10/11
NODE ATTRIBUTES:
CONNECT IS M2 RC AT 10
CONNECT IS M2 RC AT 11
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 10
GGCAT IS UNS AT 11
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E3 C E1 N E1 S AT 10
ECOUNT IS E2 C E2 N E1 S AT 11

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE
L16 22693 SEA FILE=REGISTRY ABB=ON PLU=ON NR>=2 AND NC5/ES AND (16.299.11 OR 16.520.14)/RID
L18 388 SEA FILE=REGISTRY SUB=L16 SSS FUL L11
L37 STR



Hy @10 Hy @11

VAR G1=O/S
VAR G2=10/11
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 2
CONNECT IS M2 RC AT 10
CONNECT IS M2 RC AT 11
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 10
GGCAT IS UNS AT 11
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E3 C E1 N E1 S AT 10
ECOUNT IS E2 C E2 N E1 S AT 11

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE
L39 332 SEA FILE=REGISTRY SUB=L18 SSS FUL L37

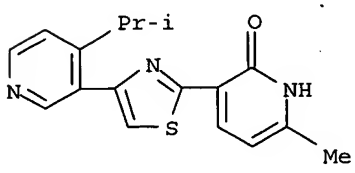
100.0% PROCESSED 388 ITERATIONS 332 ANSWERS
SEARCH TIME: 00.00.01

=> d ide l46 tot

L46 ANSWER 1 OF 11 REGISTRY COPYRIGHT 2005 ACS on STN
RN 504393-03-7 REGISTRY
ED Entered STN: 24 Apr 2003
CN 2(1H)-Pyridinone, 6-methyl-3-[4-[4-(1-methylethyl)-3-pyridinyl]-2-thiazolyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
MF C17 H17 N3 O S . C2 H F3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

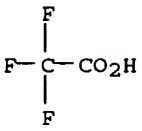
CM 1

CRN 504393-02-6
CMF C17 H17 N3 O S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

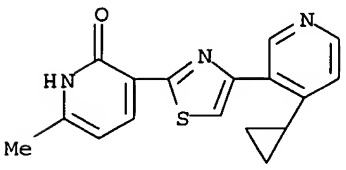


1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L46 ANSWER 2 OF 11 REGISTRY COPYRIGHT 2005 ACS on STN
RN 504393-01-5 REGISTRY
ED Entered STN: 24 Apr 2003
CN 2(1H)-Pyridinone, 3-[4-(4-cyclopropyl-3-pyridinyl)-2-thiazolyl]-6-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
MF C17 H15 N3 O S . C2 H F3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

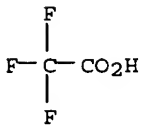
CM 1

CRN 504393-00-4
CMF C17 H15 N3 O S



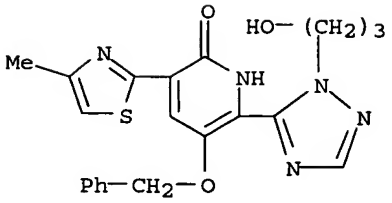
CM 2

CRN 76-05-1
CMF C2 H F3 O2



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

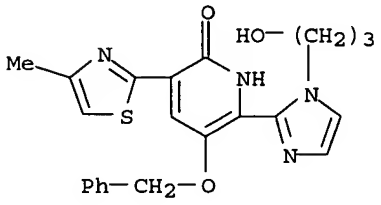
L46 ANSWER 3 OF 11 REGISTRY COPYRIGHT 2005 ACS on STN
RN 500725-95-1 REGISTRY
ED Entered STN: 26 Mar 2003
CN 2(1H)-Pyridinone, 6-[1-(3-hydroxypropyl)-1H-1,2,4-triazol-5-yl]-3-(4-methyl-2-thiazolyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H21 N5 O3 S
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

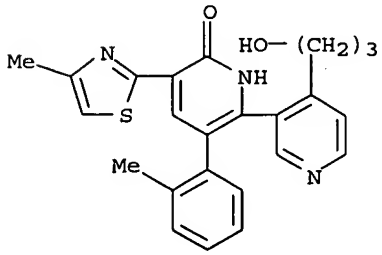
L46 ANSWER 4 OF 11 REGISTRY COPYRIGHT 2005 ACS on STN
RN 500725-93-9 REGISTRY
ED Entered STN: 26 Mar 2003
CN 2(1H)-Pyridinone, 6-[1-(3-hydroxypropyl)-1H-imidazol-2-yl]-3-(4-methyl-2-thiazolyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H22 N4 O3 S
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

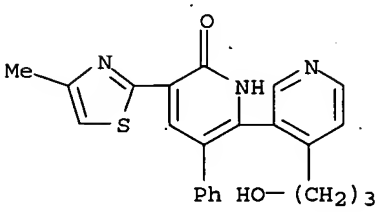
L46 ANSWER 5 OF 11 REGISTRY COPYRIGHT 2005 ACS on STN
RN 489466-62-8 REGISTRY
ED Entered STN: 13 Feb 2003
CN [2,3'-Bipyridin]-6(1H)-one, 4'-(3-hydroxypropyl)-3-(2-methylphenyl)-5-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H23 N3 O2 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

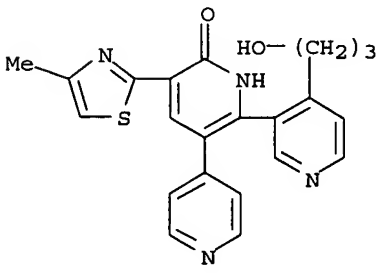
L46 ANSWER 6 OF 11 REGISTRY COPYRIGHT 2005 ACS on STN
RN 489466-61-7 REGISTRY
ED Entered STN: 13 Feb 2003
CN [2,3'-Bipyridin]-6(1H)-one, 4'-(3-hydroxypropyl)-5-(4-methyl-2-thiazolyl)-3-phenyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C23 H21 N3 O2 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L46 ANSWER 7 OF 11 REGISTRY COPYRIGHT 2005 ACS on STN
RN 380830-49-9 REGISTRY
ED Entered STN: 08 Jan 2002
CN [3,2':3',4''-Terpyridin]-6'(1'H)-one, 4-(3-hydroxypropyl)-5'-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H20 N4 O2 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

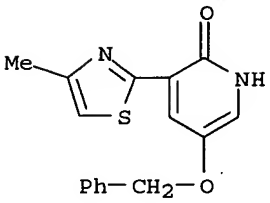


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L46 ANSWER 8 OF 11 REGISTRY COPYRIGHT 2005 ACS on STN
RN 216011-87-9 REGISTRY

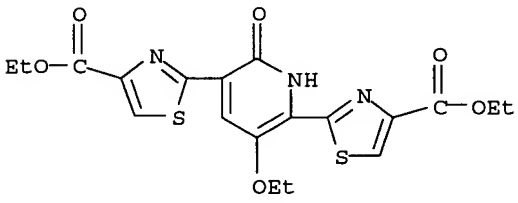
ED Entered STN: 23 Dec 1998
CN 2(1H)-Pyridinone, 3-(4-methyl-2-thiazolyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C16 H14 N2 O2 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

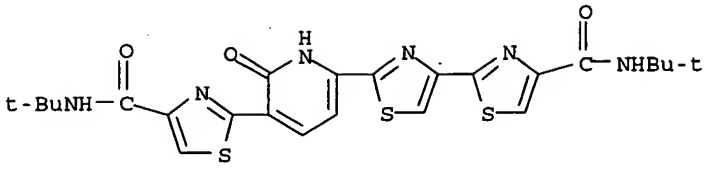
L46 ANSWER 9 OF 11 REGISTRY COPYRIGHT 2005 ACS on STN
RN **191166-45-7** REGISTRY
ED Entered STN: 15 Jul 1997
CN 4-Thiazolecarboxylic acid, 2,2'-(3-ethoxy-1,6-dihydro-6-oxo-2,5-pyridinediyl)bis-, diethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H19 N3 O6 S2
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

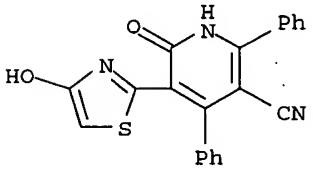
L46 ANSWER 10 OF 11 REGISTRY COPYRIGHT 2005 ACS on STN
RN **137310-12-4** REGISTRY
ED Entered STN: 15 Nov 1991
CN [2,4'-Bithiazole]-4-carboxamide, N-(1,1-dimethylethyl)-2'-[5-[4-[[(1,1-dimethylethyl)amino]carbonyl]-2-thiazolyl]-1,6-dihydro-6-oxo-2-pyridinyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H26 N6 O3 S3
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L46 ANSWER 11 OF 11 REGISTRY COPYRIGHT 2005 ACS on STN
RN 87947-97-5 REGISTRY
ED Entered STN: 16 Nov 1984
CN 3-Pyridinecarbonitrile, 1,6-dihydro-5-(4-hydroxy-2-thiazolyl)-6-oxo-2,4-diphenyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H13 N3 O2 S
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)



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1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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FILE COVERS 1907 - 18 Jul 2005 VOL 143 ISS 4
FILE LAST UPDATED: 17 Jul 2005 (20050717/ED)

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This file contains CAS Registry Numbers for easy and accurate

substance identification.

=> d all fhitstr l42 tot

L42 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:589549 HCAPLUS
DN 141:140450
ED Entered STN: 23 Jul 2004
TI Preparation of 2-oxopyridin-3-yl thia(di)azoles as Cdk2 and Cdk5 kinase inhibitors for the treatment of cell proliferation-related disorders
IN Zhong, Wenge; Norman, Mark Henry; Kaller, Matthew; Nguyen, Thomas; Rzasa, Robert Michael; Tegley, Christopher; Wang, Hui-Ling
PA Amgen Inc., USA
SO PCT Int. Appl., 317 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D417-14
ICS C07D417-04; C07D471-04; C07D491-04; A61K031-4412; A61P035-00
CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004060890	A1	20040722	WO 2003-US41388	20031222
	WO 2004060890	C1	20040826		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004147561	A1	20040729	US 2003-736289	20031212
PRAI	US 2002-436787P	P	20021227		
	US 2003-736289	A	20031212		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004060890	ICM	C07D417-14
	ICS	C07D417-04; C07D471-04; C07D491-04; A61K031-4412; A61P035-00
WO 2004060890	ECLA	C07D417/04+277B+213; C07D417/14+277B+213+213; C07D417/14+277B+277B+213; C07D417/14+307B+277B+213; C07D417/14+317+277B+213; C07D417/14+333B+277B+213; C07D417/14R+277B+213; C07D417/14R+277B+213+207; C07D417/14R+277B+213+211; C07D417/14R+277B+263B+213; C07D417/14R+277B+275+213; C07D417/14R+307B+277B+213; C07D417/14R+333B+277B+213; C07D471/04+221B+221B; C07D471/04+221B+221B+2; C07D491/04+311B+221B
US 2004147561	NCL	514/340.000; 514/345.000; 546/268.100; 546/300.000
	ECLA	C07D417/04+277B+213; C07D417/14+277B+213+213; C07D417/14+277B+277B+213; C07D417/14+307B+277B+213; C07D417/14+317+277B+213; C07D417/14+333B+277B+213; C07D417/14R+277B+213; C07D417/14R+277B+213+207; C07D417/14R+277B+213+211; C07D417/14R+277B+263B+213; C07D417/14R+307B+277B+213; C07D471/04+221B+221B+2; C07D491/04+311B+221B

OS MARPAT 141:140450
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = O or S; Q = NH2 and derivs., NHC(:O)H, alkyl-OH and derivs., (un)substituted monocyclic or bicyclic, etc; W = (un)substituted 1,3-thiazolyl, 1,2,4-thiadiazolyl; R1, R2, R3 = independently H, halo, aryl, alk(en/yn)yl, perfluoroalkyl, NO2, heterocyclyl, NH2 and derivs., etc.; R1CCR2 or R2CCR3 = 5-10 membered (un)saturated carbocyclic or heterocyclic and derivs.; with provisos; and pharmaceutically acceptable salts thereof] are disclosed as serine/threonine kinase inhibitors for effective treatment of cell proliferation or apoptosis-mediated diseases (no data). The invention encompasses I and pharmaceutically acceptable derivs. thereof, pharmaceutical compns., and methods for prophylaxis and treatment of diseases and other maladies or conditions involving stroke, cancer, and the like (no data). For example, II was prepared by cyclization of bromoacetylpyridinone (III) (preparation given) with 2-(2-thienylsulfonyl)ethanethioamide in EtOH under microwave conditions at 150° for 5 min. II exhibited Cdk2/cyclin and Cdk5/p25 kinase activity with IC50 values < 0.5 μM and inhibited cell proliferation of human PC-3 prostate cells, HCT 116 human colon carcinoma cells, or HT 29 human colon carcinoma cells with IC50 < 1 μM.

ST thiadiazole prepn cyclin dependent kinase inhibitor antiproliferative apoptosis; anticancer stroke treatment oxopyridine thiazole prepn Cdk2 Cdk5 inhibitor

IT Intestine, neoplasm
(colon, treatment; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT Cell proliferation
(inhibition; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT Antitumor agents
Apoptosis
Human
Nervous system agents
(preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT Brain, disease
(stroke, treatment; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT Neoplasm
Nervous system, disease
Prostate gland, neoplasm
(treatment; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT **727383-80-4P**, 2-Methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid trifluoroacetate
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT **727382-46-9P**, Ethyl 2-ethyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydropyridine 3-carboxylate **727382-58-3P**, Ethyl 2-isopropyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-61-8P**, Ethyl 2-isopropyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-78-7P** **727383-04-2P**, Ethyl 5-[2-(2-chloro-4-pyridinyl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-27-9P**, Ethyl 5-[2-[2-(4-Methoxybenzylamino)pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-30-4P**, Ethyl 2-methyl-5-[2-(methylamino)-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-52-0P**, 2-(Isopropyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-

pyridinecarboxylic acid **727383-77-9P**, 1,1-Dimethylethyl 2-methyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-89-3P**, 5-Hydroxymethyl-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727384-52-3P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid (2-hydroxyethyl)amide **727384-54-5P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid (2-hydroxypropyl)amide **727384-61-4P**, 2-(2-Benzyloxyethyl)-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid ethyl ester **727384-65-8P**, 2-(2-Hydroxyethyl)-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid ethyl ester
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT **727382-48-1P 727382-49-2P**, Ethyl 2-ethyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-50-5P**, Ethyl 2-ethyl-6-oxo-5-[2-(benzodioxol-5-yl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-51-6P**, Ethyl 6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-(trifluoromethyl)-1,6-dihydro-3-pyridinecarboxylate **727382-53-8P**, Ethyl 2-trifluoromethyl-6-oxo-5-[2-(3-chloro-4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-55-0P**, Ethyl 6-oxo-5-[2-[(2-pyridylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-(trifluoromethyl)-1,6-dihydro-3-pyridinecarboxylate **727382-56-1P**, Ethyl 6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-(trifluoromethyl)-1,6-dihydro-3-pyridinecarboxylate **727382-57-2P**, Ethyl 2-trifluoromethyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-60-7P**, Ethyl 2-isopropyl-6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-62-9P**, Ethyl 2-propyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-65-2P**, Ethyl 2-propyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-66-3P**, Ethyl 2-propyl-6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-67-4P**, Ethyl 6-oxo-2-[(phenylmethoxy)methyl]-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-71-0P**, Ethyl 6-oxo-2-[(phenylmethoxy)methyl]-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-72-1P 727382-74-3P**, 3-[2-(Pyridin-4-yl)-1,3-thiazol-4-yl]-1,7,8-trihydro-5H-pyrano[4,3-b]pyridin-2-one **727382-76-5P 727382-79-8P**, 3-[2-(Pyridin-4-yl)-1,3-thiazol-4-yl]-1,5,6,7,8-pentahydropyridino[3,2-c]pyridin-2-one dihydrochloride **727382-80-1P**, Ethyl 2-[[(4-methoxyphenyl)methoxy]methyl]-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-85-6P**, Ethyl 2-methyl-6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-87-8P**, Ethyl 5-[2-[[(4-chlorophenyl)sulfonyl]methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727382-89-0P**, Ethyl 5-[2-[[[(4-fluorophenyl)methyl]sulfonyl]methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727382-90-3P**, Ethyl 2-methyl-6-oxo-5-[2-(2-thienyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-92-5P**, Ethyl 2-methyl-6-oxo-5-[2-(phenylthiomethyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-93-6P**, Ethyl 5-[2-(2-ethyl-4-pyridinyl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727382-94-7P**, Ethyl 2-methyl-6-oxo-5-[2-[[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-95-8P**, Ethyl 2-methyl-6-oxo-5-[2-(3-thienyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-96-9P**, Ethyl 5-[2-(2H-benzo[d]-1,3-dioxolan-5-yl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727382-97-0P**, Ethyl

2-methyl-6-oxo-5-(2-phenyl-1,3-thiazol-4-yl)-1,6-dihydro-3-pyridinecarboxylate **727382-98-1P**, Ethyl 2-methyl-6-oxo-5-[2-(4-fluorophenyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727382-99-2P**, Ethyl 5-[2-(2,6-dichlorophenyl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-00-8P**, Ethyl 2-methyl-5-[2-(2-methyl-1,3-thiazol-4-yl)-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-01-9P**, Ethyl 5-[2-[[(furan-2-ylmethyl)sulfonyl]methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-02-0P**, Ethyl 5-[2-[[(tert-butyl)sulfonyl]methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-03-1P**, Ethyl 2-methyl-6-oxo-5-[2-(3-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-06-4P**, Ethyl 2-methyl-6-oxo-5-[2-(4-methoxyphenyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-07-5P**, Ethyl 5-[2-(3,5-dichloropyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-08-6P**, Ethyl 5-[2-[(methylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-09-7P**, Ethyl 5-[2-[3-[[(4-chlorophenyl)sulfonyl]methyl]-2-thienyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-10-0P**, Ethyl 2-methyl-6-oxo-5-[2-[2-(1-piperidinyl)-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-11-1P**, Ethyl 2-methyl-5-[2-[2-[(2-methylpropyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-12-2P**, Ethyl 2-methyl-6-oxo-5-[2-[2-[(3-pyridinylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-13-3P**, Ethyl 2-methyl-6-oxo-5-[2-[2-[(phenylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-14-4P**, Ethyl 2-methyl-6-oxo-5-[2-[2-[2-oxo-3-(trifluoromethyl)-1(2H)-pyridinyl]ethyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-15-5P**, Ethyl 5-[2-[2-[[2-(diethylamino)ethyl]amino]-4-pyridinyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-16-6P**, Ethyl 5-[2-[2-[(fur-2-ylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride **727383-17-7P**, Ethyl 5-[2-[2-[[2-(thien-2-yl)ethyl]amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride **727383-18-8P**, Ethyl 5-[2-[2-(4-fluorobenzylamino)pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride **727383-19-9P**, Ethyl 5-[2-(2-butylaminopyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride **727383-20-2P**, Ethyl 5-[2-[2-[(carbamoylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride **727383-21-3P**, Ethyl 5-[2-[2-[2-(acetylamino)ethylamino]pyridin-4-yl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride **727383-22-4P**, N-[2-[[4-[4-(6-Methyl-2-oxo-1,2-dihydropyridin-3-yl)-1,3-thiazol-2-yl]pyridin-2-yl]amino]ethyl]acetamide **727383-23-5P**, N-(Cyclopropylmethyl)-5-[2-[2-[(cyclopropylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxamide hydrochloride **727383-24-6P**, Ethyl 5-[2-[2-[(cyclopropylmethyl)amino]pyridin-4-yl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride **727383-25-7P**, Ethyl 5-[2-[2-[(Cyclopentylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride **727383-26-8P**, 5-[2-[2-[(4-Methoxybenzyl)amino]pyridin-4-yl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid N-(4-methoxybenzyl)amide hydrochloride **727383-28-0P**, Ethyl 2-methyl-6-oxo-5-[2-[2-(amino)-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-29-1P** **727383-31-5P**, Ethyl 2-methyl-5-[2-[methyl(phenylsulfonyl)amino]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-32-6P **727383-33-7P**, Ethyl 2-methyl-5-[2-[methyl(phenylsulfonyl)amino]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride (1/2) **727383-34-8P**, 5-[(Phenylmethyl)oxy]-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone **727383-35-9P**, 6-(Methoxymethyl)-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone **727383-37-1P**,

5-Phenoxy-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone **727383-38-2P**, 5-Phenoxy-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone hydrochloride (1/3) **727383-39-3P**, 6-Methyl-3-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727383-40-6P**, Ethyl 2-(1-methylethyl)-5-[2-(2-methoxy-4-pyridinyl)-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-42-8P**, Ethyl 2-methyl-5-[2-[2-(methoxy)-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-43-9P**, Ethyl 2-methyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-44-0P**, Ethyl 2-methyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-45-1P**, Ethyl 2-methyl-6-oxo-5-[2-[(2-pyridylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-46-2P**, Ethyl 2-methyl-5-[2-[1-methyl-1-(phenylsulfonyl)ethyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-47-3P**, Ethyl 2-cyclopropyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-51-9P**, Ethyl 2-cyclopropyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-53-1P**, 5-Bromo-6-methyl-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone **727383-56-4P**, Ethyl 2-methyl-5-[2-[2-(methylamino)-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-58-6P**, 5-Amino-6-ethyl-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone **727383-65-5P**, N-[2-Ethyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide **727383-66-6P**, 4-Dimethylamino-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727383-68-8P**, 6-Methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-5,6,7,8-tetrahydro-1H-[1,6]naphthyridin-2-one **727383-69-9P**, 2-Methyl-6-oxo-N-(2-pyridinylmethyl)-5-[2-[2-[[(2-pyridinyl)methyl]amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxamide **727383-70-2P**, 6-Methyl-3-[2-[2-[(2-pyridinylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-2(1H)-pyridinone **727383-71-3P**, Ethyl 2-methyl-6-oxo-5-[2-[2-[(2-pyridinylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-72-4P**, Ethyl 2-methyl-6-oxo-5-[2-[2-[[2-(phenyloxy)ethyl]amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-73-5P**, 5-[2-[2-(Ethoxy)-4-pyridinyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid **727383-75-7P**, Ethyl 5-[2-(2-dimethylaminopyridin-4-yl)-1,3-thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate **727383-76-8P**, Ethyl 5-[2-(2-methylaminopyridin-4-yl)-1,3-thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride **727383-79-1P**, 2-Methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid **727383-81-5P**, 6-Methyl-5-[(4-methyl-1-piperazinyl)carbonyl]-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone **727383-82-6P**, 2-(Pyrrolidin-1-yl)ethyl 2-methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylate **727383-84-8P**, 2-(Pyrrolidin-1-yl)ethyl 2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylate **727383-85-9P**, 6-Ethyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727383-86-0P**, 6-Isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727383-87-1P**, 3-(Diethylamino)propyl 2-ethyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-88-2P**, 3-(Diethylamino)propyl 2-(1-methylethyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-91-7P**, 5-[(3,6-Dihydro-2H-pyridin-1-yl)methyl]-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727383-94-0P**, 6-Ethyl-5-[(piperidin-1-yl)methyl]-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one hydrochloride **727383-96-2P**, 6-Ethyl-5-(4-methylpiperazin-1-ylmethyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one hydrochloride **727383-97-3P**, 6-Methyl-3-[4-(pyridin-4-yl)thiazol-2-yl]-1H-pyridin-2-one **727383-98-4P**, 6-Ethyl-5-isobutylamino-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727384-01-2P**,

N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridin-3-yl]isobutyramide **727384-03-4P**, 6-Isopropyl-5-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727384-06-7P**, 3-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-6-isopropyl-5-methyl-1H-pyridin-2-one **727384-08-9P**, 6-Ethyl-5-propionyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727384-10-3P**, 3-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-6-ethyl-5-propionyl-1H-pyridin-2-one **727384-11-4P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-dimethylaminoethyl ester **727384-13-6P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(pyrrolidin-1-yl)ethyl ester **727384-14-7P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(2-oxopyrrolidin-1-yl)ethyl ester **727384-15-8P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-diisopropylaminoethyl ester **727384-16-9P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-diethylaminoethyl ester **727384-17-0P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-methylpyrrolidin-3-yl ester **727384-18-1P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-ethylpyrrolidin-3-yl ester **727384-19-2P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-ethylpiperidin-3-yl ester **727384-20-5P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid piperidin-4-ylmethyl ester **727384-22-7P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(1-methylpyrrolidin-2-yl)ethyl ester **727384-23-8P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-methylpiperidin-3-yl ester **727384-24-9P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-dimethylamino-1-methylethyl ester **727384-25-0P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-diethylamino-1-methylethyl ester **727384-26-1P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-[(benzyl)(methyl)amino]ethyl ester **727384-27-2P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-methylpiperidin-4-yl ester **727384-28-3P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(piperazin-1-yl)ethyl ester **727384-29-4P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(2-oxopyrrolidin-1-yl)propyl ester **727384-30-7P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid phenethyl ester **727384-32-9P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(thiophen-2-yl)ethyl ester **727384-33-0P**, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-diethylaminoethyl ester **727384-36-3P**, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-diethylamino-1-methylethyl ester **727384-37-4P**, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-diethylaminopropyl ester **727384-38-5P**, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-(1-methylpyrrolidin-2-yl)ethyl ester **727384-39-6P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(morpholin-4-yl)ethyl ester **727384-40-9P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(piperidin-1-yl)ethyl ester **727384-41-0P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid methyl ester **727384-42-1P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid methyl ester trifluoroacetate **727384-43-2P**, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid propyl ester

Search done by Noble Jarrell

727384-44-3P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid propyl ester trifluoroacetate 727384-45-4P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid butyl ester 727384-46-5P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid butyl ester trifluoroacetate 727384-47-6P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid isobutyl ester 727384-48-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid isobutyl ester trifluoroacetate 727384-49-8P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid sec-butyl ester 727384-50-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid sec-butyl ester trifluoroacetate 727384-55-6P, 5-(4,5-Dihydrooxazol-2-yl)-6-isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-56-7P, 6-Isopropyl-5-(5-methyl-4,5-dihydrooxazol-2-yl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-57-8P, 5-[[(2-Dimethylaminoethyl)(ethyl)amino]methyl]-6-ethyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-59-0P, 5-[[(2-Diethylaminoethyl)(methyl)amino]methyl]-6-ethyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-66-9P, 6-Oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-2-[2-(pyrrolidin-1-yl)ethyl]-1,6-dihydropyridine-3-carboxylic acid ethyl ester 727384-68-1P, 2-Isopropyl-N-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxamide 727384-69-2P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid amide 727384-70-5P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid isobutylamide 727384-72-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid methylamide 727384-73-8P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid (2-isopropylaminoethyl)amide 727384-74-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid dimethylamide 727384-75-0P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid N-(pyridin-4-ylmethyl)amide 727384-76-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid N-(pyridin-2-ylmethyl)amide 727384-78-3P, 5-(Furan-2-yl)-6-isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-83-0P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-2-methylaminoacetamide 727384-84-1P, 2-Dimethylamino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727384-85-2P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-3-(piperidin-1-yl)propionamide 727384-86-3P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-3-methylbutyramide 727384-87-4P, 2-Amino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727384-88-5P, 2-tert-Butylamino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727384-89-6P, (S)-2-Amino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-3-methylbutyramide 727384-90-9P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-2-(piperidin-1-yl)acetamide 727384-92-1P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-4-(piperidin-1-yl)butyramide 727384-93-2P, 5-(1,1-Dioxidoisothiazolidin-2-yl)-6-ethyl-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone 727384-94-3P, 6-Ethyl-5-(3-methylbutylamino)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-95-4P, Ethyl 5-[2-[2-[(fur-2-ylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-96-5P, Ethyl 5-[2-[2-[[2-(thien-2-yl)ethyl]amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-97-6P, Ethyl

5-[2-(2-butylaminopyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate **727384-98-7P**, Ethyl 5-[2-[2-[(carbamoylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate **727384-99-8P**, Ethyl 5-[2-(2-acetylaminoethylamino)pyridin-4-yl-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate **727385-00-4P**, 5-[2-[2-[(Cyclopropylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid N-(cyclopropylmethyl)amide **727385-02-6P**, Ethyl 5-[2-[2-[(cyclopropylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate **727385-03-7P**, Ethyl 5-[2-[2-[(Cyclopentylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate **727385-04-8P**, 5-[2-[2-(4-Methoxybenzylamino)pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 4-methoxybenzylamide **727385-05-9P**, 6-Methyl-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]hydropyridin-2-one **727385-06-0P**, Ethyl 5-[2-(2-methylaminopyridin-4-yl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylate **727385-07-1P**, Ethyl 2-methyl-5-[2-[2-[[2-[(1-methylethyl)amino]ethyl]amino]-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydropyridine-3-carboxylate **727385-08-2P**. , Ethyl 2-isopropyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate hydrobromide (3/5)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT 141349-86-2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(Cdk2/cyclin; inhibition; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT 147014-96-8
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT 19335-57-0P 24922-02-9P 25957-23-7P, 5-Acetyl-2-methyl-6-oxo-1,6-dihydropyridine 31112-96-6P, 2-[2-(Pyridin-4-yl)thiazol-4-yl]acetamide 36674-49-4P, 2-Benzenesulfonyl-2-methylpropionitrile 51145-57-4P, Ethyl 2-acetyl-3-(dimethylamino)prop-2-enoate 51719-12-1P, N-(4-Methoxybenzyl)acetoacetamide 55985-43-8P, 3-Oxobutanoic acid 2-(pyrrolidin-1-yl)ethyl ester 59503-67-2P, Ethyl 5-acetyl-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 67354-34-1P, Ethyl 3-oxo-4-(phenylmethoxy)butanoate 88301-99-9P, 4-[(Dimethylamino)methylene]heptane-3,5-dione 89193-23-7P, Ethyl 2-propionyl-3-(dimethylamino)prop-2-enoate 93552-74-0P, 2-[(Dimethylamino)methylene]-3-oxobutanoic acid tert-butyl ester 116344-09-3P, Ethyl 3-(dimethylamino)-2-(2-methylpropanoyl)prop-2-enoate 154020-52-7P, Ethyl 5-acetyl-2-ethyl-6-oxo-1,6-dihydropyridine-3-carboxylate 154020-53-8P, Ethyl 5-acetyl-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylate 154020-54-9P, Ethyl 5-acetyl-2-trifluoromethyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 247169-71-7P, 3-Acetyl-6-ethyl-5-propionyl-1H-pyridin-2-one 267243-86-7P, Ethyl 2-trifluoroacetyl-3-(dimethylamino)prop-2-enoate 475115-38-9P, 5-Acetyl-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid tert-butyl ester 475115-40-3P, Ethyl 5-acetyl-2-propyl-6-oxo-1,6-dihydropyridine-3-carboxylate 578020-10-7P, 2-Amino-1,1-dimethyl-1-(phenylsulfonyl)ethane-2-thione 632365-67-4P, 1-Dimethylamino-2,4-dimethylpent-1-en-3-one 727382-47-0P, Ethyl 5-(2-bromoacetyl)-2-ethyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727382-52-7P, Ethyl 5-(2-bromoacetyl)-2-trifluoromethyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727382-59-4P, Ethyl 5-(2-bromoacetyl)-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727382-63-0P, Ethyl 2-propyl-3-(dimethylamino)prop-2-enoate 727382-64-1P, Ethyl 5-(2-bromoacetyl)-2-propyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727382-68-5P 727382-69-6P, Ethyl 5-acetyl-6-oxo-2-[(phenylmethoxy)methyl]-1,6-dihydropyridine-3-carboxylate 727382-70-9P,

Ethyl 5-(2-bromoacetyl)-6-oxo-2-[(phenylmethoxy)methyl]-1,6-dihydropyridine-3-carboxylate 727382-73-2P 727382-75-4P, 3-[(Dimethylamino)methylene]-2H-5,6-dihydropyran-4-one 727382-77-6P 727382-81-2P, Ethyl 4-[(4-methoxyphenyl)methoxy]-3-oxobutanoate 727382-82-3P, Ethyl 3-(dimethylamino)-2-[2-[(4-methoxyphenyl)methoxy]acetyl]prop-2-enoate 727382-83-4P, Ethyl 5-acetyl-2-[[(4-methoxyphenyl)methoxy]methyl]-6-oxo-1,6-dihydropyridine-3-carboxylate 727382-84-5P, Ethyl 5-(2-bromoacetyl)-2-[[(4-methoxyphenyl)methoxy]methyl]-6-oxo-1,6-dihydropyridine-3-carboxylate 727382-86-7P, 5-(2-Bromoacetyl)-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid ethyl ester 727383-41-7P, 2-Methoxythioisonicotinamide 727383-48-4P, 2-(Cyclopropylcarbonyl)-3-dimethylaminoacrylic acid ethyl ester 727383-49-5P, Ethyl 5-acetyl-2-cyclopropyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727383-50-8P, Ethyl 5-(2-bromoacetyl)-2-cyclopropyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727383-54-2P, 5-Acetyl-3-bromo-2-methyl-6-oxo-1,6-dihydropyridine 727383-59-7P, Ethyl 5-acetyl-2-ethyl-1-(4-methoxybenzyl)-6-oxo-1,6-dihydropyridine-3-carboxylate 727383-60-0P, Ethyl 5-(2-bromoacetyl)-2-ethyl-1-(4-methoxybenzyl)-6-oxo-1,6-dihydropyridine-3-carboxylate **727383-61-1P**, Ethyl 2-ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate **727383-62-2P**, 2-Ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylic acid **727383-63-3P**, [2-Ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]carbamic acid tert-butyl ester **727383-64-4P**, 5-Amino-6-ethyl-1-(4-methoxybenzyl)-3-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-83-7P, 2-[(Dimethylamino)methylene]-3-Oxobutanoic acid 2-(pyrrolidin-1-yl)ethyl ester **727383-90-6P**, 5-[(Imidazol-1-yl)carbonyl]-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727383-92-8P**, 6-Ethyl-5-hydroxymethyl-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727383-93-9P**, 6-Ethyl-1-(4-methoxybenzyl)-5-[(piperidin-1-yl)methyl]-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727383-95-1P**, 6-Ethyl-1-(4-methoxybenzyl)-5-[(4-methylpiperazin-1-yl)methyl]-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727384-00-1P**, 6-Ethyl-5-isobutylamino-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727384-02-3P**, N-[2-Ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridin-3-yl]isobutyramide 727384-05-6P, 3-Acetyl-6-isopropyl-5-methyl-1H-pyridin-2-one 727384-09-0P, 3-(2-Bromoacetyl)-6-ethyl-5-propionyl-1H-pyridin-2-one **727384-12-5P**, 5-[(Imidazol-1-yl)carbonyl]-6-isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727384-21-6P** **727384-34-1P**, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydro-3-pyridinecarboxylic acid **727384-35-2P**, 3-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-5-[(imidazol-1-yl)carbonyl]-6-isopropyl-1H-pyridin-2-one **727384-58-9P**, 5-[[(2-Dimethylaminoethyl)(ethyl)amino]methyl]-6-ethyl-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one **727384-60-3P**, 5-[[(2-Diethylaminoethyl)(methyl)amino]methyl]-6-ethyl-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-62-5P, 5-Benzyloxy-2-[(dimethylamino)methylene]-3-oxopentanoic acid ethyl ester 727384-63-6P, 5-Acetyl-2-(2-benzyloxyethyl)-6-oxo-1,6-dihydropyridine-3-carboxylic acid ethyl ester 727384-79-4P, 3-Acetyl-5-bromo-6-isopropyl-1H-pyridin-2-one 727384-81-8P, 3-Acetyl-5-(furan-2-yl)-6-isopropyl-1H-pyridin-2-one 727384-82-9P, 3-(2-Bromoacetyl)-5-(furan-2-yl)-6-isopropyl-1H-pyridin-2-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT 60-12-8, 2-Phenylethanol 78-77-3, Isobutyl bromide 78-81-9, Isobutylamine 78-96-6, 2-Hydroxypropylamine 79-30-1, Isobutyryl chloride 96-32-2, Methyl bromoacetate 96-80-0, 2-Diisopropylaminoethanol 98-09-9, Benzenesulfonyl chloride 100-37-8,

2-Diethylaminoethanol 104-79-0, N,N-Diethyl-N'-methylethane-1,2-diamine 105-13-5, 4-Methoxybenzyl alcohol 106-52-5, 1-Methylpiperidin-4-ol 108-01-0, 2-Dimethylaminoethanol 108-16-7, 1-Dimethylaminopropan-2-ol 109-01-3, 1-Methylpiperazine 110-86-1, Pyridine, reactions 110-89-4, Piperidine, reactions 123-75-1, Pyrrolidine, reactions 123-83-1, N'-Ethyl-N,N-dimethylethane-1,2-diamine 140-75-0, 4-Fluorobenzylamine 141-97-9, Ethyl acetoacetate 372-31-6, Ethyl 4,4,4-trifluoroacetoacetate 503-74-2, 3-Methylbutyric acid 530-62-1 · 536-33-4 565-69-5, 2-Methylpentan-3-one 609-15-4, Ethyl 2-chloroacetoacetate 617-89-0, [(Furan-2-yl)methyl]amine 622-40-2, 2-(Morpholin-4-yl)ethanol 622-93-5, 3-Diethylaminopropan-1-ol 765-43-5, Cyclopropyl methyl ketone 1001-53-2, N-(2-Aminoethyl)acetamide 1118-68-9, Dimethylaminoacetic acid 1190-91-6, 4-(Dimethylamino)but-3-en-2-one 1445-73-4, 1-Methyl-4-piperidone 1633-82-5, 3-Chloropropane-1-sulfonyl chloride 1758-46-9, 2-Phenoxyethylamine 1918-13-4, 2,6-Dichlorothiobenzamide 2196-13-6, Isothionicotinamide 2227-79-4, Thiobenzamide 2393-23-9, 4-Methoxybenzylamine 2516-47-4, (Cyclopropylmethyl)amine 2802-08-6, trans-4-(Dimethylamino)-3-buten-2-one 2955-88-6, 1-(2-Hydroxyethyl)pyrrolidine 3040-44-6, 2-(Piperidin-1-yl)ethanol 3235-67-4, Piperidin-1-ylacetic acid 3249-68-1, Ethyl butyrylacetate 3445-11-2, 2-(2-Oxopyrrolidin-1-yl)ethanol 3554-74-3, 1-Methylpiperidin-3-ol 3731-51-9, 2-Aminomethylpyridine 3731-52-0, (3-Pyridylmethyl)amine 3731-53-1, (Pyridin-4-ylmethyl)amine 4241-27-4, 3-Cyano-6-methyl-2(1H)-pyridinone 4402-32-8, 1-Diethylaminopropan-2-ol 4637-24-5 4672-16-6, 4-(Piperidin-1-yl)butyric acid · 4949-44-4, Ethyl propionylacetate 5349-17-7, 4-(Bromoacetyl)pyridine hydrobromide 5402-55-1, 2-(Thiophen-2-yl)ethanol 5977-14-0, Acetoacetamide 6053-81-2, (Cyclopentylmethyl)amine 7152-15-0, Ethyl isobutyrylacetate 7424-54-6, Heptane-3,5-dione 7605-28-9, 2-(Phenylsulfonyl)acetonitrile 13220-33-2, 1-Methylpyrrolidin-3-ol 13331-23-2, (2-Furanyl)boronic acid 13444-24-1, 1-Ethylpiperidin-3-ol · 13734-36-6, [[(tert-Butoxycarbonyl)methyl]amino]acetic acid 13734-41-3 15884-65-8, Benzodioxole-5-carbothioic acid amide 19099-93-5, Benzyl 4-oxo-1-piperidinecarboxylate 19522-67-9, 2-Isopropylaminoethylamine 22179-72-2, 4-Fluorothiobenzamide 24044-76-6, 3-Thiophenecarbothioamide 26371-07-3, 3-(Piperidin-1-yl)propionic acid 29943-42-8, Tetrahydro-4H-pyran-4-one 30433-91-1, [2-(Thiophen-2-yl)ethyl]amine 30727-14-1, 1-Ethylpyrrolidin-3-ol 32807-28-6, Methyl 4-chloroacetoacetate 33252-30-1, 2-Chloro-4-cyanopyridine 41361-28-8, 1-Ethyl-3-piperidone hydrochloride 51451-44-6, 2-(3-Pyridinyl)thioacetamide 51731-17-0, trans-4-Methoxy-3-buten-2-one 53300-47-3, 2-Methylsulfonylthioacetamide 54334-57-5, 2-(Phenylsulfonyl)ethanethioamide 58482-93-2 59865-82-6, 2-Phenylsulfanylthioacetamide 59865-87-1, 2-(4-Chlorobenzenesulfonyl)thioacetamide 60759-02-6, 4-Methoxyphenylthioacetamide 62012-15-1, 3-(2-Oxopyrrolidin-1-yl)propanol 64714-79-0, 5-Benzyloxy-3-oxopentanoic acid ethyl ester 66521-58-2 67004-64-2, 2-(1-Methylpyrrolidin-2-yl)ethanol 72716-86-0, 2-Methoxy-4-isonicotinonitrile 74093-60-0, 3-(Dimethylamino)-2-phenoxyprop-2-enal 77279-24-4, 4-(2-Hydroxyethyl)piperazine-1-carboxylic acid tert-butyl ester 79099-07-3, tert-Butyl 4-oxo-1-piperidinecarboxylate 80882-52-6, 2-Dimethylamino-4-isonicotinonitrile 91447-89-1, 2-Chloroisothionicotinamide 92303-09-8 123855-51-6, 4-Hydroxymethylpiperidine-1-carboxylic acid tert-butyl ester 137225-13-9, 2-Methylamino-4-isonicotinonitrile 143462-35-5, 3-(Dimethylamino)-2-(phenylmethoxy)prop-2-enal 174223-29-1, 2-Methylthiazole-4-carbothioic acid amide 175202-34-3, 2-(2-Thienylsulfonyl)ethanethioamide 175202-41-2, 2-(Furan-2-ylmethanesulfonyl)thioacetamide 175204-46-3, 2,6-Dichloroisothionicontinamide 175276-83-2 175276-88-7, 2-[(4-Fluorophenylmethyl)sulfonyl]thioacetamide 175276-91-2, 2-(2-Pyridylsulfonyl)ethanethioamide 175277-31-3, 2-(tert-Butylsulfonyl)thioacetamide 254982-01-9, 3-[(4-Chlorobenzenesulfonyl)methyl]thiophene-2-carbothioic acid amide 265314-18-9, 3-(2-Oxo-3-trifluoromethyl-2H-pyridin-1-yl)thiopropionamide 727382-54-9 727382-91-4, 2-Thienylthioamide 727383-36-0,

4-(Dimethylamino)-1-methoxybut-3-en-2-one 727383-55-3, 5-(2-Bromoacetyl)-3-bromo-2-methyl-6-oxo-1,6-dihydropyridine 727383-57-5 727383-67-7 **727383-74-6**, 5-[2-(2-Chloropyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 727383-78-0, 5-(2-Bromoacetyl)-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid tert-butyl ester 727383-99-5, Ethyl (2Z)-2-propionyl-3-(dimethylamino)prop-2-enoate 727384-07-8, 3-(2-Bromoacetyl)-6-isopropyl-5-methyl-1H-pyridin-2-one 727384-64-7, 2-(2-Benzyloxyethyl)-5-(2-bromoacetyl)-6-oxo-1,6-dihydropyridine-3-carboxylic acid ethyl ester **727384-67-0** 727384-80-7, 3-Acetyl-6-isopropyl-1H-pyridin-2-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT 634250-92-3 634250-93-4 634250-94-5, 3: PN: WO03101985 SEQID: 3 unclaimed DNA 634250-95-6 634250-96-7 634250-97-8 634250-98-9 634250-99-0 634251-00-6 634251-01-7 634251-02-8 634251-03-9
RL: PRP (Properties)
(unclaimed nucleotide sequence; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT **727383-80-4P**, 2-Methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid trifluoroacetate
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

RN 727383-80-4 HCAPLUS
CN 3-Pyridinecarboxylic acid, 1,6-dihydro-2-methyl-6-oxo-5-[2-(4-pyridinyl)-4-thiazolyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

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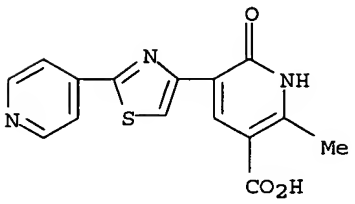
CRN 727383-79-1
CMF C15 H11 N3 O3 S



CM 2

CRN 76-05-1
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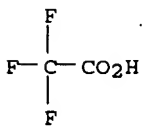

L42 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:633706 HCAPLUS
DN 139:180057

ED Entered STN: 15 Aug 2003
TI Preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurological disorders and apoptosis
IN **Norman, Mark; Wang, Hui-ling; Rzasa, Robert; Zhong, Wenge; Nguyen, Thomas; Kaller, Matthew**
PA Amgen Inc., USA
SO PCT Int. Appl., 490 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D417-00
CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
FAN.CNT 1

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PI	WO 2003066630	A2	20030814	WO 2003-US3762	20030207
	WO 2003066630	A3	20031218		
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	CA 2475637	AA	20030814	CA 2003-2475637	20030207
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PRAI	US 2002-355313P	P	20020207		
	US 2003-360226	A1	20030206		
	WO 2003-US3762	W	20030207		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003066630	ICM	C07D417-00
WO 2003066630	ECLA	C07D417/14+277B+215+213; C07D417/14+277B+215; C07D417/14+277B+215+207; C07D417/14+277B+239B+215+213; C07D417/14+277B+241B+215; C07D417/14+277B+277B+215; C07D417/14+277B+215+209C; C07D417/14+277B+215+213+207; C07D417/14+277B+231+215; C07D417/14+277B+261+215; C07D417/14+277B+233+215; C07D417/14+285B+277B+215; C07D417/14+307+277B+215; C07D417/14+307B+277B+215; C07D417/14+307B+277B+215+213; C07D417/14+319+277B+215; C07D417/14+333B+277B+215; C07D417/14+333B+307B+277B+215; C07D417/14R+307B+277B+215; C07D471/04+221B+221B+2; C07D471/04+239B+221B; C07D491/04+317A+221A; C07D513/04+277B+221B
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OS MARPAT 139:180057

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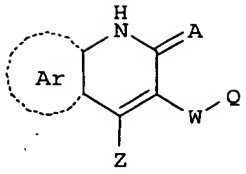

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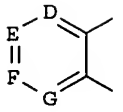

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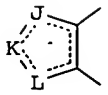
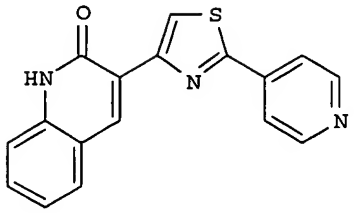


III



IV

AB The title compds. [I; Ar = II or III; A = O, S, NH; D = CR1, N; E = CR2, N; F = CR3, N; G = CR4, N; J = NR6, S, O, CR1; K = NR6, S, O, CR2; L = NR6, S, O, CR3; Q = OH, (un)substituted NH, aryl, etc.; W = (un)substituted monocyclic (non)aromatic heterocyclic ring; Z = H, (un)substituted NH2, SH, OH, etc.; R1-R4 = H, halo, aryl, etc.; R6 = H, alkyl, a lone pair electrons] and their pharmaceutically acceptable salts, useful for prophylaxis and treatment of diseases and other maladies or conditions involving stroke, cancer and the like, were prepared E.g., a 4-step synthesis of IV (starting from thioisonicotinamide and Me 4-chloroacetoacetate) which showed IC50 of < 1 μM against cdk2/cyclin kinase and against cdk5/p25, was given. A pharmaceutical composition comprising compound I was claimed.

ST thiazolyl quinolinone prepn cell proliferation inhibitor antitumor apoptosis; tyrosine kinase inhibitor cdk2 cyclin cdk5 thiazolyl quinolinone prepn

IT Antitumor agents
Apoptosis
Cell proliferation
Cytotoxic agents
Human
Neoplasm
Nervous system, disease
Nervous system agents
(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

IT Brain, disease
(stroke; preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

IT 147014-96-8, Cdk5 kinase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(His-tagged p25/CDK5; preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

IT 146279-89-2, Cyclin e/cdk2 kinase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(cyclin E2/CDK2; preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

IT **578017-64-8P 578017-68-2P 578017-70-6P**
578017-96-6P 578018-16-3P 578018-20-9P 578018-25-4P
578018-29-8P 578018-34-5P **578018-44-7P** 578018-57-2P
578018-62-9P 578018-82-3P 578018-85-6P 578018-94-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

IT 209974-99-2P 578017-57-9P 578017-58-0P
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578020-24-3P 578020-25-4P 578020-26-5P 578020-27-6P
578020-28-7P 578710-19-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

IT 75-31-0, Isopropylamine, reactions 78-81-9, Isobutylamine 98-09-9, Benzenesulfonyl chloride 98-58-8, 4-Bromobenzenesulfonyl chloride 98-88-4, Benzoyl chloride 103-80-0, Phenylacetyl chloride 104-79-0,

N,N-Diethyl-N'-methylethane-1,2-diamine 105-56-6, Ethyl cyanoacetate
106-95-6, Allyl bromide, reactions 109-01-3, 1-Methylpiperazine
109-89-7, Diethylamine, reactions 110-72-5, N-Ethylethane-1,2-diamine
110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions
123-75-1, Pyrrolidine, reactions 123-83-1, N'-Ethyl-N,N-dimethylethane-
1,2-diamine 134-20-3, Methyl anthranilate 141-91-3,
2,6-Dimethylmorpholine 141-97-9, Ethyl acetoacetate 142-25-6,
N,N,N'-Trimethylethylenediamine 156-87-6, 3-Hydroxypropyl-1-amine
320-94-5, 4-(Trifluoromethyl)-2-nitrobenzoic acid 503-29-7, Azetidine
529-23-7, 2-Aminobenzaldehyde 536-33-4, Ethionamide 613-89-8,
2-Aminoacetophenone 626-56-2, 3-Methylpiperidine 656-32-6,
2-Fluorophenyl thiourea 674-82-8, Diketene 701-27-9,
3-Fluorobenzenesulfonyl chloride 1003-03-8, Cyclopentylamine
1885-29-6, 2-Aminobenzonitrile 2196-13-6, Thioisonicotinamide
2227-64-7 2439-57-8, N-Methyl-tetrahydrofurfurylamine 2835-77-0,
2-Aminobenzophenone 4104-75-0, N-Methyl-N-phenylthiourea 4421-09-4,
2H-Benzo[d]1,3-dioxolane-5-carbonitrile 4621-66-3, Thionicotinamide
4747-21-1, N-Isopropyl-N-methylamine 5000-65-7 5349-17-7,
4-(Bromoacetyl)pyridine hydrobromide 5382-16-1, 4-Hydroxypiperidine
5407-04-5 5909-24-0 5922-60-1, 2-Amino-5-chlorobenzonitrile
6859-99-0, 3-Hydroxypiperidine 7605-28-9, 2-(Phenylsulfonyl)acetonitrile
13514-93-7, 2-Amino-5-(1-piperidinyl)benzonitrile 14294-09-8,
1-Piperidinecarbothioamide 14294-11-2, 2-Pyridyl thiourea 15861-24-2,
5-Cyanoindole 15884-65-8, 1,3-Benzodioxole-5-carbothioamide
16369-05-4, 2-Amino-3-methylbutan-1-ol 20028-53-9, 5-Chloro-2-
aminobenzaldehyde 20099-89-2, 4-(2-Bromoacetyl)benzonitrile
22179-72-2, 4-Fluorothiobenzamide 26961-27-3, 2-Amino-4,5-
dimethoxybenzonitrile 27578-60-5, 1-(2-Aminoethyl)piperidine
28857-37-6 29676-71-9, (2-Amino-4-thiazolyl)acetic acid 30162-37-9,
3-Pyridyl thiourea 32807-28-6, Methyl 4-chloroacetoacetate 33252-30-1,
2-Chloropyridine-4-carbonitrile 35092-89-8 35794-11-7,
3,5-Dimethylpiperidine 38943-98-5, 2-Amino-5-(4-methyl-1-
piperazinyl)benzonitrile 40499-83-0, 3-Hydroxypyrrolidine 52711-92-9,
(2,5-Dimethoxyphenyl)acetyl chloride 54334-57-5 56541-07-2
59865-82-6, 2-(Phenylthio)thioacetamide 59865-87-1, 2-(4-
Chlorophenylsulfonyl)ethanethioamide 82420-35-7, 5-Fluoro-2-nitrobenzyl
bromide 94108-56-2, 4-(Trifluoromethoxy)benzenesulfonyl chloride
98475-07-1, Methyl 2-bromomethyl-3-nitrobenzoate 104777-39-1
122641-10-5 137049-00-4, 1-Methyl-1H-imidazole-4-sulfonyl chloride
143090-18-0 164670-44-4, 4-Pyridyl thiourea 175202-34-3 175205-52-4,
4-(1,2,3-Thidiazol-4-yl)thiobenzamide 175277-49-3 175277-57-3
175277-59-5 478366-48-2 578020-16-3, 4-Trifluoromethyl-2-nitrobenzyl
iodide 578020-17-4 578020-18-5 578020-19-6 **578020-20-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of thiazolyl substituted quinolinones for treating cell
proliferative disorders, neurol. disorders and apoptosis)

IT 31112-90-0P 31112-92-2P 36674-49-4P, 2-(Phenylsulfonyl)-2-
methylpropionitrile 36926-82-6P 39067-04-4P 50290-20-5P
82379-38-2P, 4-Hydroxymethyl-3-nitrobenzoic acid 89950-93-6P,
4-Hydroxymethyl-3-nitrobenzoic acid methyl ester 135964-75-9P
145736-67-0P 145736-75-0P 186602-93-7P 212322-17-3P,
3-Amino-4-formylbenzoic acid methyl ester 578019-61-1P 578019-62-2P
578019-64-4P 578019-66-6P 578019-67-7P 578019-68-8P 578019-69-9P
578019-70-2P 578019-71-3P 578019-72-4P 578019-73-5P 578019-74-6P
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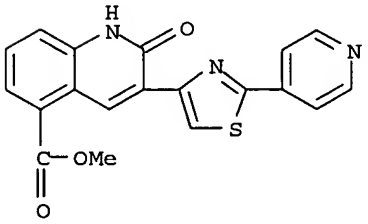
578020-02-7P 578020-03-8P 578020-04-9P 578020-05-0P,
3-Amino-4-hydroxymethylbenzoic acid methyl ester 578020-06-1P
578020-07-2P 578020-08-3P 578020-09-4P 578020-10-7P,
2-Amino-1,1-dimethyl-1-(phenylsulfonyl)ethane-2-thione 578020-11-8P
578020-12-9P 578020-13-0P 578020-14-1P
578020-15-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

IT 579545-89-4 579545-90-7 579545-91-8 579545-92-9 579545-93-0
579545-94-1 579545-95-2 579545-96-3 579545-97-4 579545-98-5
579545-99-6 579546-00-2
RL: PRP (Properties)
(unclaimed sequence; preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

IT 578017-64-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

RN 578017-64-8 HCAPLUS
CN 5-Quinolinecarboxylic acid, 1,2-dihydro-2-oxo-3-[2-(4-pyridinyl)-4-thiazolyl]-, methyl ester (9CI) (CA INDEX NAME)



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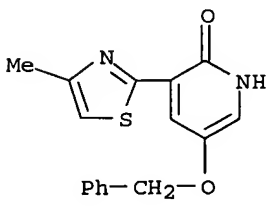
L53 ANSWER 1 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:214107 HCAPLUS
DN 140:417245
ED Entered STN: 18 Mar 2004
TI Tricyclic pyridones as functionally selective human GABAAα2/3 receptor-ion channel ligands
AU Crawforth, James; Atack, John R.; Cook, Susan M.; Gibson, Karl R.; Nadin, Alan; Owens, Andrew P.; Pike, Andrew; Rowley, Michael; Smith, Alison J.; Sohal, Bindi; Sternfeld, Francine; Wafford, Keith; Street, Leslie J.
CS Department of Medicinal Chemistry, The Neuroscience Research Centre, Merck Sharp & Dohme Research Laboratories, Essex, CM20 2QR, UK
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(7), 1679-1682
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
CC 1-3 (Pharmacology)
AB A series of tricyclic pyridones has been evaluated as benzodiazepine site ligands with functional selectivity for the α3 over the α1 containing subtype of the human GABAA receptor ion channel. This investigation led to the identification of a high affinity, functionally selective, orally bioavailable benzodiazepine site ligand that demonstrated activity in rodent anxiolysis models and reduced sedation relative to diazepam.
ST structure activity ticyclic pyridone GABAA receptor anxiolytic sedation
IT GABA receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(GABAA, α2/3; tricyclic pyridones as functionally selective human GABAAα2/3 receptor-ion channel ligands)
IT Mental activity
(sedation; tricyclic pyridones as functionally selective human

GABAAα2/3 receptor-ion channel ligands)
IT Anxiolytics
Human
Structure-activity relationship
(tricyclic pyridones as functionally selective human GABAAα2/3 receptor-ion channel ligands)
IT 216012-61-2P 380830-41-1P 489466-63-9P 691387-10-7P 691387-13-0P
691387-15-2P 691387-17-4P 691387-18-5P 691387-19-6P 691387-20-9P
691387-21-0P 691387-22-1P 691387-23-2P 691387-24-3P 691387-25-4P
691387-26-5P 691387-27-6P 691387-28-7P 691387-29-8P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(tricyclic pyridones as functionally selective human GABAAα2/3 receptor-ion channel ligands)
IT 75-21-8, Oxirane, reactions 288-32-4, 1H-Imidazole, reactions
3430-22-6 18162-48-6 143462-35-5 173739-73-6 216012-95-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(tricyclic pyridones as functionally selective human GABAAα2/3 receptor-ion channel ligands)
IT 216011-87-9P 216012-32-7P 380830-45-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(tricyclic pyridones as functionally selective human GABAAα2/3 receptor-ion channel ligands)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Collins, I; J Med Chem 2002, V45, P1887 HCAPLUS
(2) Collinson, N; Psycopharmacology 1997, V132, P751
(3) Crawforth, J; US 20010053776 2001 HCAPLUS
(4) Gibson, K; J Org Chem 2002, V67, P9354 HCAPLUS
(5) Harrison, T; WO 9850384 1998 HCAPLUS
(6) Korpi, E; Ann Med 1997, V29, P275 HCAPLUS
(7) Krapcho, P; Tetrahedron Lett 1973, V14, P957
(8) Liu, H; Tetrahedron Lett 1995, V36, P8917 HCAPLUS
(9) Low, K; Science 2000, V290, P131 HCAPLUS
(10) McKernan, R; Nature Neuroscience 2000, V3, P587 HCAPLUS
(11) Mink, K; Liebigs Ann 1995, P645
(12) Nadin, A; Tetrahedron Lett 1999, V40, P4073 HCAPLUS
(13) Sieghart, W; Neurochem Int 1999, V34, P379 HCAPLUS
(14) Smith, A; Mol Pharmacol 2001, V59, P1108 HCAPLUS
(15) Whiting, P; J Neuroscience 1997, V17, P5027 HCAPLUS
IT 216011-87-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(tricyclic pyridones as functionally selective human GABAAα2/3 receptor-ion channel ligands)
RN 216011-87-9 HCAPLUS
CN 2(1H)-Pyridinone, 3-(4-methyl-2-thiazolyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L53 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:261823 HCAPLUS
DN 138:287663

ED Entered STN: 04 Apr 2003
TI Preparation of 3-pyridyl or 4-isoquinolinyl thiazoles as C17,20 lyase inhibitors
IN Bierer, Donald; McClure, Andrea; Fu, Wenlang; Achebe, Furahi; Ladouceur, Gaetan H.; Burke, Michael J.; Bi, Cheng; Hart, Barry; Dumas, Jacques; Sibley, Robert; Scott, William J.; Johnson, Jeffrey; Asgari, Davoud
PA Bayer Corporation, USA
SO PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D277-00
CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 2
FAN.CNT 8

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PI	WO 2003027085	A2	20030403	WO 2002-US30483	20020926 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	EP 1432706	A2	20040630	EP 2002-799636	20020926 <--
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PRAI	US 2001-324993P	P	20010926 <--		
	WO 2002-US30483	W	20020926 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003027085	ICM	C07D277-00
WO 2003027085	ECLA	C07D401/14+233+213+213; C07D413/04+263B+213; C07D413/14+317+263B+213; C07D417/04+277+213; C07D417/04+277+217; C07D417/04+277B+213; C07D417/04+277B+217; C07D417/04+277B+241B; C07D417/06+277B+213; C07D417/14+277B+213+207; C07D417/14+277B+213+213; C07D417/14+277B+215+213; C07D417/14+277B+217+213; C07D417/14+277B+233+213; C07D417/14+277B+241B+213; C07D417/14+277B+261+213; C07D417/14+285B+277B+213; C07D417/14+307+277B+213; C07D417/14+307B+277B+213; C07D417/14+319+277B+213; C07D417/14+321+277B+213; C07D417/14+333B+277B+213; C07D513/04+277A+271A; C07D513/04+277B+209B; C07D513/04+277B+221B; C07D513/04+277B+239B <--
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C07D513/04+277B+221B; C07D513/04+277B+239B <--

OS MARPAT 138:287663

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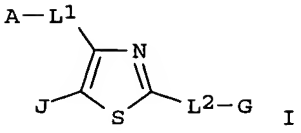

I

AB The title compds. [I; L1 = a bond, CO, (CH2)a (wherein a = 1-3), etc.; L2 = a bond, (CH2)a, CH2O, etc.; J = H, alkyl, halo; when L1 = a bond, then A = (un)substituted pyridyl, pyridyl oxide, Ph, etc.; when L2 = a bond, then G = (un)substituted pyridyl, pyridyl oxide, etc.; when L1 = CO, then A = piperidino, morpholino, (un)substituted piperazino; when L1 = (CH2)a, then A = imidazol-1-yl, (in)substituted Ph; etc.], useful as inhibitors of lyases, e.g., the 17α-hydroxylase-C17,20 enzyme, for treating prostate cancer or breast cancer, were prepared Thus, refluxing 4-methylpyridine-3-thiocarboxamide with 4-chlorophenacyl bromide in EtOH afforded 88% I.HBr [L1, L2 = a bond; G = 4-methylpyrid-3-yl; A = 4-ClC6H4; J = H]. All compds. I tested have IC50 in the human C17,20 biochem. assay or the human C17,20 cellular assay of less than 10 μM.

ST thiazole pyridyl isoquinolinyl prepn desmolase steroid C17C20 inhibitor antitumor; lyase C17C20 inhibitor thiazole pyridyl prepn prostate breast cancer

IT Human
(preparation of 3-pyridyl or 4-isoquinolinyl thiazoles as C17,20 lyase inhibitors)

IT Antitumor agents
Mammary gland, neoplasm
Prostate gland, neoplasm
(preparation of 3-pyridyl or 4-isoquinolinyl thiazoles as C17,20 lyase inhibitors for treating prostate and breast cancer)

IT 9044-50-2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of 3-pyridyl or 4-isoquinolinyl thiazoles as C17,20 lyase inhibitors)

IT 435271-33-3P, 2-(4-Chloro-3-pyridyl)-4-(4-chlorophenyl)thiazole 435271-60-6P 504387-41-1P, 2-(4-Chloromethyl-3-pyridyl)-4-(4-cyanophenyl)thiazole 504387-55-7P 504388-14-1P 504388-41-4P 504393-85-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 3-pyridyl or 4-isoquinolinyl thiazoles as C17,20 lyase inhibitors)

IT 25021-37-8P 115541-27-0P 145737-61-7P 207406-59-5P 435271-64-0P 435271-95-7P 435271-96-8P 435271-98-0P 435272-06-3P 435272-12-1P 435272-27-8P 435272-66-5P 435272-98-3P 435273-04-4P 504387-29-5P, 2-(4-Methyl-3-pyridinyl)-4-(4-chlorophenyl)thiazole hydrobromide 504387-30-8P 504387-31-9P, 2-(4-Methyl-3-pyridinyl)-4-(4-chlorophenylmethyl)thiazole hydrochloride 504387-32-0P, 2-(4-Cyclopropyl-3-pyridyl)-4-(4-chlorophenyl)thiazole 504387-33-1P, 2-(3-Pyridyl)-4-(cyclohexyl)thiazole 504387-34-2P, 2-(3-Pyridyl)-4-(phenylamino)-5-methylthiazole 504387-35-3P, 2-(4-Methyl-3-pyridyl)-4-(N-methylcyclohexylamino)thiazole 504387-36-4P, 2-(3-Pyridyl)-4-(isopropoxy)thiazole 504387-37-5P, 2-(4-Methyl-3-pyridyl)-4-(cyclohexyl)-5-methylthiazole 504387-38-6P, 2-(3-Pyridyl)-4-(benzyloxy)thiazole 504387-39-7P, 3-[4-(4-Chlorophenyl)-1,3-thiazol-2-yl]-4-(1-piperidinyl)pyridine 504387-40-0P, 4-Methyl-3-[4-(1-piperidinylcarbonyl)-1,3-thiazol-2-yl]pyridine 504387-42-2P, 2-(4-((Dimethylamino)methyl)-3-

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-pyridyl or 4-isoquinolinyl thiazoles as C17,20 lyase inhibitors)

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chlorophenyl)thiazole 504391-36-0P 504391-37-1P 504391-38-2P
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504392-04-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of 3-pyridyl or 4-isoquinolinyl thiazoles as C17,20 lyase
inhibitors)

IT 504392-05-6P 504392-06-7P 504392-07-8P 504392-08-9P 504392-09-0P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-pyridyl or 4-isoquinolinyl thiazoles as C17,20 lyase inhibitors)

IT 79-03-8, Propanoyl chloride 79-30-1, 2-Methylpropanoyl chloride 94-02-0, Ethyl 3-oxo-3-phenylpropanoate 100-54-9, 3-Cyanopyridine 107-91-5, 2-Cyanoacetamide 109-89-7, Diethylamine, reactions 110-89-4, Piperidine, reactions 350-03-8, 3-Acetylpyridine 405-50-5, 4-Fluorophenylacetic acid 502-42-1, Cycloheptanone 529-34-0, α-Tetralone 536-38-9 621-36-3, 3-Methylphenylacetic acid 622-47-9, 4-Methylphenylacetic acid 677-22-5, tert-Butylmagnesium chloride 771-61-9, Pentafluorophenol 823-76-7, Cyclohexyl methyl ketone 1068-55-9, Isopropylmagnesium chloride 1071-46-1, Ethyl malonate 1078-19-9, 6-Methoxy-1-tetralone 1113-59-3, Bromopyruvic acid 1122-54-9, 4-Acetylpyridine 1122-62-9, 2-Acetylpyridine 1193-79-9, 2-Acetyl-5-methylfuran 1532-97-4, 4-Bromoisoquinoline 1877-73-2, 3-Nitrophenylacetic acid 1878-65-5, 3-Chlorophenylacetic acid 2567-56-8, 2-Chloro-N-cyclohexyl-N-methylacetamide 3249-68-1, Ethyl 3-oxohexanoate 4252-78-2, 2,2',4'-Trichloroacetophenone 4333-56-6, Cyclopropyl bromide 4524-93-0, Cyclopentylcarbonyl chloride 4621-66-3, Thionicotinamide 4637-24-5, Dimethylformamide dimethylacetal 5002-07-3, 4-(4-Chlorophenyl)acetophenone 5031-78-7 5437-45-6, Benzyl bromoacetate 5444-02-0, 2,6-Dihydroxy-4-methyl-3-pyridinecarbonitrile 5807-30-7, 3,4-Dichlorophenylacetic acid 6285-05-8, 4'-Chloropropiophenone 6310-09-4, 2-Acetyl-5-chlorothiophene 6443-85-2, 3-Pyridylacetonitrile 6836-19-7, 7-Methoxy-1-tetralone 14996-78-2, 2-Phenylcycloheptanone 23719-80-4, Cyclopropylmagnesium bromide 25026-34-0, 4-Chlorophenylacetyl chloride 42308-20-3, 2-Bromo-N-phenylpropionamide 63917-11-3 99684-03-4, Bicyclo[3.2.1]octanone 237069-82-8, 2,4-Di(trifluoromethyl)acetophenone 504394-23-4, 2-(4-Methylpyridyl)-4-cyclohexylthiazole 504394-24-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-pyridyl or 4-isoquinolinyl thiazoles as C17,20 lyase inhibitors)

IT 613-34-3P 766-65-4P, 2-Bromocycloheptanone 875-35-4P 877-37-2P, 2-Bromo-4'-chloropropiophenone 1634-53-3P, 2-(Bromoacetyl)-5-methylfuran 3222-55-7P 4949-44-4P 5349-17-7P 5444-01-9P, 4-Methyl-3-cyanopyridine 6713-48-0P 7152-15-0P 10494-87-8P 13672-07-6P, 2-Bromo-1-tetralone 17570-98-8P 17694-68-7P 20933-24-8P, 2-Bromo-6-methoxy-1-tetralone 21443-38-9P 23328-64-5P 24253-14-3P, 1-(4-Methylphenyl)-3-chloro-2-propanone 24253-15-4P, 1-(3-Methylphenyl)-3-chloro-2-propanone 24253-17-6P, 1-(3-Chlorophenyl)-3-chloro-2-propanone 24253-18-7P, 1-(3-Nitrophenyl)-3-chloro-2-propanone 24476-58-2P 24922-00-7P 28179-33-1P 33107-81-2P, 1-(3,4-Dichlorophenyl)-3-chloro-2-propanone 33107-83-4P, 1-Chloro-3-(4-chlorophenyl)-2-propanone 34846-65-6P, 4-Cyanoisoquinoline 37860-86-9P 38824-78-1P 39065-51-5P 39098-47-0P 39621-09-5P 39974-18-0P, 3-Bromobicyclo[3.2.1]octan-2-one 51227-30-6P 51451-44-6P, 3-Pyridineethanethioamide 52338-11-1P 52338-17-7P 56077-28-2P 57731-17-6P, 2-(Bromoacetyl)-5-chlorothiophene 58534-32-0P 61889-48-3P 74133-20-3P, 4-Methoxy-3-cyanopyridine 85928-57-0P, 2-Bromo-7-methoxy-1-tetralone 95689-38-6P, 1,1-Dicyano-2-methoxy-4-dimethylamino-1,3-butadiene 98645-43-3P, 2-Chloro-3-cyano-4-methoxypyridine 108134-82-3P 149467-75-4P 156861-46-0P 303967-88-6P, 1-(4-Fluorophenyl)-3-chloro-2-propanone 435271-21-9P 435271-27-5P 435271-31-1P 435271-32-2P, 4-Isoquinolinecarbothioamide 435273-43-1P 435273-45-3P 435273-46-4P 503843-49-0P 503843-50-3P 503843-54-7P 503843-55-8P 503843-59-2P 503843-65-0P 503843-67-2P 503843-69-4P 503856-54-0P 503856-57-3P 503856-58-4P 503856-59-5P 503856-60-8P 503856-62-0P 503856-63-1P 503856-94-8P 503856-95-9P 503856-96-0P 503856-99-3P 503857-00-9P 503857-01-0P 503857-02-1P 503857-18-9P 503859-52-7P,

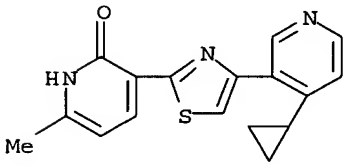
2-Bromo-7-phenylcycloheptanone 504394-19-8P 504394-20-1P
504394-21-2P 504394-22-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-pyridyl or 4-isoquinolinyl thiazoles as C17,20 lyase inhibitors)

IT **504393-01-5P 504393-03-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-pyridyl or 4-isoquinolinyl thiazoles as C17,20 lyase inhibitors)

RN 504393-01-5 HCAPLUS
CN 2(1H)-Pyridinone, 3-[4-(4-cyclopropyl-3-pyridinyl)-2-thiazolyl]-6-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

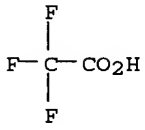
CM 1

CRN 504393-00-4
CMF C17 H15 N3 O S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 504393-03-7 HCAPLUS
CN 2(1H)-Pyridinone, 6-methyl-3-[4-[4-(1-methylethyl)-3-pyridinyl]-2-thiazolyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

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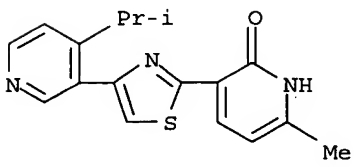

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CRN 76-05-1
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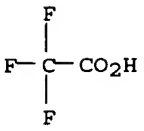

L53 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:173578 HCAPLUS
DN 138:221605
ED Entered STN: 07 Mar 2003
TI Preparation of tricyclic pyridin-2-one analogues as ligands for GABAA receptors
IN Bourrain, Sylvie; Goodacre, Simon Charles; Hallett, David James; Lewis, Richard Thomas; Rowley, Michael; Sternfeld, Francine; Street, Leslie Joseph
PA Merck Sharp & Dohme Limited, UK
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D
CC 28-21 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003018546	A2	20030306	WO 2002-GB3703	20020812 <--
WO 2003018546	A3	20030717		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI GB 2001-20345 A 20010821 <--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003018546	ICM	C07D
WO 2003018546	ECLA	C07D471/14+243D+235C+221C; C07D471/14+249C+243C+221C<--

OS MARPAT 138:221605
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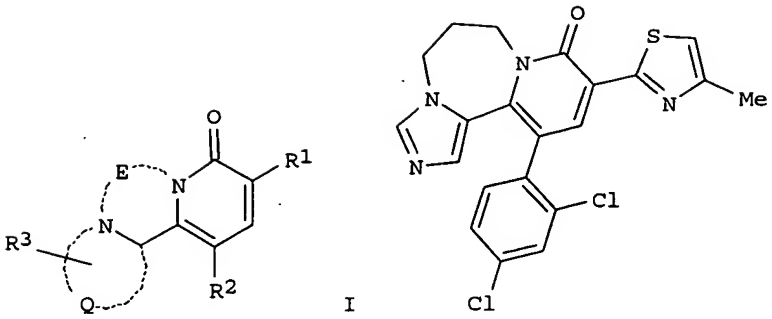

I II

AB The title fused tricyclic compds. I [E = (CH2)n; n = 1-3; Q = the residue of an imidazole or triazole ring; R1, R2 = H, halo, heterocyclyl, etc.; R3 = H, alkyl] which are potent and functionally selective ligands for the α2/α3 subunit of the human GABAA receptor and are thereby effective in the treatment of anxiety and convulsions, were prepared E.g., a 7-step synthesis of II, starting from Et (4-methylthiazol-2-yl)acetate and 3-aminopropanol, was given. The exemplified compds. I were found to possess a Ki of ≤ 100 nM for displacement of [3H]-flumazenil from the α2 and/or α3 subunit of the human GABAA receptor.

ST pyridinone tricyclic fused prepn GABAA receptor ligand anxiolytic anticonvulsant; triazabenzoazulenone prepn GABAA receptor ligand anxiolytic anticonvulsant; benzoazulenone triaza prepn GABAA receptor ligand anxiolytic anticonvulsant

IT GABA receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(GABAA; preparation of tricyclic pyridin-2-one analogs as ligands for GABAA receptors)

IT Anticonvulsants
Anxiolytics
Human
(preparation of tricyclic pyridin-2-one analogs as ligands for GABAA receptors)

IT Anxiety
Convulsion
(treatment of; preparation of tricyclic pyridin-2-one analogs as ligands for GABAA receptors)

IT 500725-31-5P 500725-61-1P 500725-69-9P 500725-71-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tricyclic pyridin-2-one analogs as ligands for GABAA receptors)

IT 500725-30-4P 500725-32-6P 500725-33-7P 500725-34-8P 500725-35-9P
500725-36-0P 500725-37-1P 500725-38-2P 500725-39-3P 500725-40-6P
500725-41-7P 500725-42-8P 500725-43-9P 500725-44-0P 500725-45-1P
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500725-74-6P 500725-75-7P 500725-76-8P 500725-78-0P 500725-79-1P
500725-80-4P 500725-81-5P 500725-82-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic pyridin-2-one analogs as ligands for GABAA receptors)

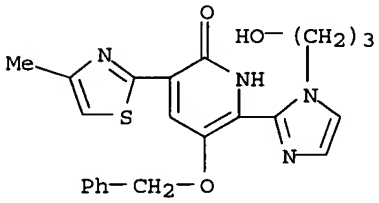
IT 98-80-6, Phenylboronic acid 100-52-7, Benzaldehyde, reactions
105-53-3, Diethyl malonate 156-87-6, 3-Aminopropanol 288-32-4,
Imidazole, reactions 288-88-0, 1H-1,2,4-Triazole 627-18-9 765-43-5,

Cyclopropyl methyl ketone 1072-84-0, Imidazole-4-carboxylic acid 2295-31-0, Thiazolidine-2,4-dione 2919-23-5, Cyclobutanol 4637-24-5 13621-50-6, Ethyl thiocarbamoylacetate 51221-43-3 68716-47-2, 2,4-Dichlorophenylboronic acid 104863-68-5 173739-73-6, (4-Methylthiazol-2-yl)acetamide 500725-97-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tricyclic pyridin-2-one analogs as ligands for GABAA receptors)

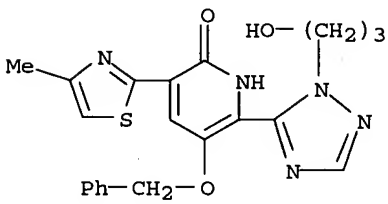
IT 4175-76-2P, 2,4-Dichlorothiazole 288384-22-5P 371765-60-5P 500725-83-7P 500725-84-8P 500725-85-9P 500725-86-0P 500725-87-1P 500725-88-2P 500725-89-3P 500725-90-6P 500725-91-7P 500725-92-8P **500725-93-9P** 500725-94-0P **500725-95-1P** 500725-96-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic pyridin-2-one analogs as ligands for GABAA receptors)

IT **500725-93-9P 500725-95-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic pyridin-2-one analogs as ligands for GABAA receptors)

RN 500725-93-9 HCAPLUS
CN 2(1H)-Pyridinone, 6-[1-(3-hydroxypropyl)-1H-imidazol-2-yl]-3-(4-methyl-2-thiazolyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

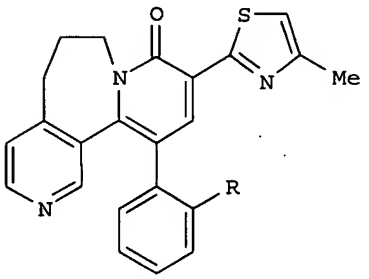


RN 500725-95-1 HCAPLUS
CN 2(1H)-Pyridinone, 6-[1-(3-hydroxypropyl)-1H-1,2,4-triazol-5-yl]-3-(4-methyl-2-thiazolyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L53 ANSWER 4 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:890774 HCAPLUS
DN 138:122281
ED Entered STN: 25 Nov 2002
TI Synthesis and Conformational Dynamics of Tricyclic Pyridones Containing a Fused Seven-Membered Ring
AU Gibson, Karl R.; Hitzel, Laure; Mortishire-Smith, Russell J.; Gerhard, Ute; Jelley, Richard A.; Reeve, Austin J.; Rowley, Michael; Nadin, Alan; Owens, Andrew P.
CS Medicinal Chemistry Department, Neuroscience Research Centre, Merck Sharp Dohme Research Laboratories, Harlow/Essex, CM20 2QR, UK
SO Journal of Organic Chemistry (2002), 67(26), 9354-9360
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society

DT Journal
LA English
CC 22-3 (Physical Organic Chemistry)
OS CASREACT 138:122281
GI



I

AB A new synthetic approach to tricyclic pyridones bearing a fused seven-membered ring, e.g., I (R = H, Me), is described. These compds. exhibit atropisomerism and exist in enantiomeric forms. Chiral HPLC separation of the enantiomers has allowed the rates of racemization to be measured and hence the free energy barrier for flipping the seven-membered ring to be deduced. Introduction of a further element of planar chirality leads to diastereomeric atropisomerism. The rate of interconversion of the diastereomers has been quantified by 2D EXSY NMR spectroscopy allowing a full description of the conformational dynamics of the system.

ST diazadibenzocycloheptenone aryldihydrothiazolyl prepn conformational dynamics; tricyclic pyridinone prepn conformational dynamics; diastereomeric atropisomerism tricyclic pyridinone; racemization kinetics tricyclic pyridinone; chiral HPLC tricyclic pyridinone

IT Atropisomers
Conformational transition
Racemization kinetics
(preparation and conformational dynamics of tricyclic pyridinones containing fused seven-membered ring)

IT Potential barrier
(ring flip; preparation and conformational dynamics of tricyclic pyridinones containing fused seven-membered ring)

IT 216012-57-6
RL: PRP (Properties)
(preparation and conformational dynamics of tricyclic pyridinones containing fused seven-membered ring)

IT 216012-61-2P 489466-63-9P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and conformational dynamics of tricyclic pyridinones containing fused seven-membered ring)

IT 229184-01-4P 380830-44-4P 380830-45-5P 380830-46-6P 489466-55-9P 489466-56-0P 489466-57-1P 489466-58-2P 489466-59-3P 489466-60-6P **489466-61-7P 489466-62-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and conformational dynamics of tricyclic pyridinones containing fused seven-membered ring)

IT 4637-24-5, DMF dimethyl acetal
RL: RCT (Reactant); RACT (Reactant or reagent)
(prereactant with benzyl pyridinyl ketone; preparation and conformational dynamics of tricyclic pyridinones containing fused seven-membered ring)

IT 75-21-8, Ethylene oxide, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(prereactant with bromomethylpyridine; preparation and conformational dynamics of tricyclic pyridinones containing fused seven-membered ring)

IT 173739-73-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(prereactant with enamino ketone; preparation and conformational dynamics of tricyclic pyridinones containing fused seven-membered ring)

IT 3430-22-6, 3-Bromo-4-methylpyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prereactant with oxirane; preparation and conformational dynamics of tricyclic pyridinones containing fused seven-membered ring)

IT 101-41-7, Methyl phenylacetate 40851-62-5, Methyl o-tolylacetate
RL: RCT (Reactant); RACT (Reactant or reagent)
(prereactant with pyridinecarboxylate ester; preparation and conformational dynamics of tricyclic pyridinones containing fused seven-membered ring)

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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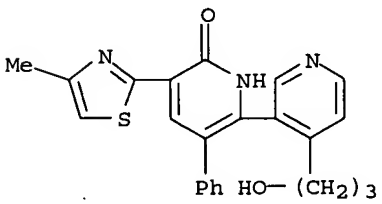
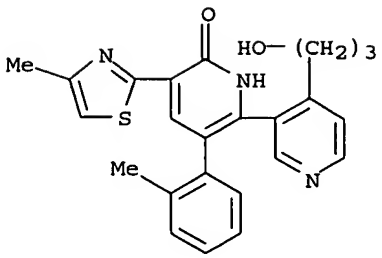
(21) Spurr, P; Tetrahedron Lett 1995, V36, P2745 HCAPLUS
(22) Zoltewicz, J; J Org Chem 1996, V61, P7018 HCAPLUS

IT **489466-61-7P 489466-62-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and conformational dynamics of tricyclic pyridinones containing fused seven-membered ring)

RN 489466-61-7 HCAPLUS
CN [2,3'-Bipyridin]-6(1H)-one, 4'-(3-hydroxypropyl)-5-(4-methyl-2-thiazolyl)-3-phenyl- (9CI) (CA INDEX NAME)



RN 489466-62-8 HCAPLUS
CN [2,3'-Bipyridin]-6(1H)-one, 4'-(3-hydroxypropyl)-3-(2-methylphenyl)-5-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



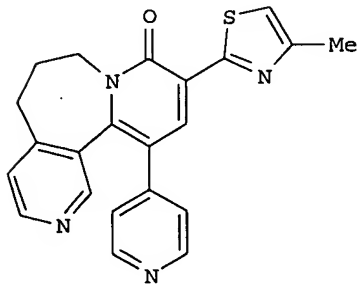
L53 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:924319 HCAPLUS
DN 136:37599
ED Entered STN: 21 Dec 2001
TI Preparation of tricyclic pyridin-2-one analogue as a GABA receptor ligand
IN Crawforth, James Michael; Gibson, Karl Richard; Rowley, Michael
PA UK
SO U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-55
ICS C07D487-14
INCL 514214010
CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001053776	A1	20011220	US 2001-861318	20010518 <--
PRAI	GB 2000-12708	A	20000524 <--		
	GB 2001-3525	A	20010213 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2001053776	ICM	A61K031-55
	ICS	C07D487-14
	INCL	514214010
US 2001053776	NCL	514/214.010; 540/579.000
	ECLA	C07D487/14+223C+221C+221B <--

GI



I

AB 9-(4-Methylthiazol-2-yl)-11-(pyridin-4-yl)-6,7-dihydro-5H-2,7a-diazadibenzo[a,c]cyclohepten-8-one (I), and pharmaceutically acceptable salts thereof, are selective ligands for GABAA receptors, in particular having high affinity for the α2 and/or α3 subunit thereof, and are accordingly of benefit in the treatment and/or prevention of disorders

of the central nervous system, including anxiety and convulsions. A multi-step synthesis of compound I (along with two alternative procedures) which showed Ki of < 1 nM for displacement of [3H]-flumazenil from the α2 and/or α3 subunit of the human GABAA receptor, was given.

ST tricyclic pyridinone analog prepn GABA receptor ligand; methylthiazolylpyridinyldihydrodiazadibenzocycloheptenone prepn GABA receptor ligand; anxiolytic methylthiazolylpyridinyldihydrodiazadibenzocycloheptenone prepn; anticonvulsant methylthiazolylpyridinyldihydrodiazadibenzocycloheptenone prepn

IT GABA receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(GABAA; preparation of tricyclic pyridin-2-one analog as a GABA receptor ligand)

IT Anticonvulsants
Anxiolytics
(preparation of tricyclic pyridin-2-one analog as a GABA receptor ligand)

IT 380830-41-1P 380830-42-2P 380830-43-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic pyridin-2-one analog as a GABA receptor ligand)

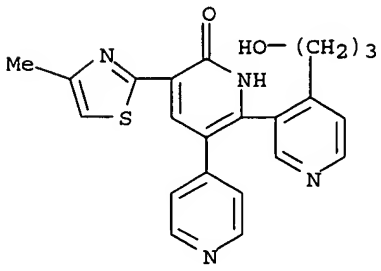
IT 1692-15-5, Pyridine-4-boronic acid 54401-85-3, Ethyl 4-pyridylacetate 173739-73-6, 4-Methylthiazole-2-acetamide 216012-92-9 229184-01-4, 3-Bromo-4-(3-hydroxypropyl)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tricyclic pyridin-2-one analog as a GABA receptor ligand)

IT 380830-44-4P 380830-45-5P 380830-46-6P 380830-47-7P 380830-48-8P 380830-49-9P 380830-50-2P, Benzyl 2-(4-pyridyl)acetate 380830-51-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic pyridin-2-one analog as a GABA receptor ligand)

IT 380830-49-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic pyridin-2-one analog as a GABA receptor ligand)

RN 380830-49-9 HCAPLUS

CN [3,2':3',4''-Terpyridin]-6'(1'H)-one, 4-(3-hydroxypropyl)-5'-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



L53 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:321276 HCAPLUS

DN 131:73587

ED Entered STN: 26 May 1999

TI Synthesis of tricyclic pyridones by radical cyclization

AU Nadin, Alan; Harrison, Timothy

CS Department of Medicinal Chemistry, Neuroscience Research Centre, Merck Sharp and Dohme Research Laboratories, Essex, CM20 2QR, UK

SO Tetrahedron Letters (1999), 40(21), 4073-4076
CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal
LA English
CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 27
OS CASREACT 131:73587
AB A general and novel route for the synthesis of tricyclic pyridones by 5-, 6- and 7-exo-trig radical cyclization is described. The use of Pd-catalyzed cross-coupling reactions to introduce functionality at the 5-position of a pyridone is also presented.
ST tricyclic pyridone prepn
IT Cross-coupling reaction
(Pd-catalyzed cross-coupling reactions at the 5-position of a tricyclic pyridone)
IT Alkylation
(preparation of tricyclic pyridones via pyridone N-alkylation and radical cyclization)
IT Cyclization
(radical; preparation of tricyclic pyridones via pyridone N-alkylation and radical cyclization)
IT 536-74-3, Phenylacetylene 1074-16-4 5720-06-9, 2-Methoxybenzeneboronic acid 5720-07-0, 4-Methoxybenzeneboronic acid 6783-05-7 10365-98-7, 3-Methoxybenzeneboronic acid 18982-54-2 42783-78-8 87199-17-5, 4-Formylbenzeneboronic acid 173739-73-6 197007-87-7 216012-95-2 229184-00-3 229184-01-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tricyclic pyridones via pyridone N-alkylation and radical cyclization)
IT 143462-35-5P **216011-87-9P** 216012-32-7P 216012-90-7P 216012-91-8P 216012-92-9P 216012-93-0P 229184-02-5P 229184-03-6P 229184-04-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic pyridones via pyridone N-alkylation and radical cyclization)
IT 216012-36-1P 216012-50-9P 216012-52-1P 216012-55-4P 216012-57-6P 216012-75-8P 216012-77-0P 216012-78-1P 216012-79-2P 229184-05-8P 229184-06-9P 229184-07-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of tricyclic pyridones via pyridone N-alkylation and radical cyclization)
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
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(2) Aldabbagh, W; Tetrahedron Lett 1997, V38, P7937
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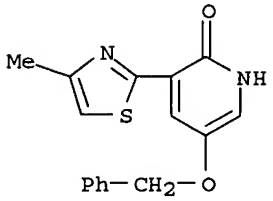
IT 216011-87-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic pyridones via pyridone N-alkylation and radical cyclization)

RN 216011-87-9 HCAPLUS

CN 2(1H)-Pyridinone, 3-(4-methyl-2-thiazolyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L53 ANSWER 7 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:745054 HCAPLUS

DN 130:13918

ED Entered STN: 24 Nov 1998

TI Preparation of tricyclic pyridone analogs as GABA-A receptor ligands

IN Harrison, Timothy; Moyes, Christopher Richard; Nadin, Alan; Owens, Andrew Pate; Lewis, Richard Thomas

PA Merck Sharp & Dohme Ltd., UK

SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D471-14
ICS C07D471-04; A61K031-435; C07D471-14; C07D223-00; C07D221-00; C07D221-00; C07D471-04; C07D223-00; C07D221-00; C07D471-14; C07D221-00; C07D221-00; C07D209-00; C07D471-14; C07D221-00; C07D221-00; C07D221-00

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 28

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850384	A1	19981112	WO 1998-GB1167	19980422 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2287929	AA	19981112	CA 1998-2287929	19980422 <--
	AU 9870661	A1	19981127	AU 1998-70661	19980422 <--
	AU 738297	B2	20010913		
	EP 980371	A1	20000223	EP 1998-917431	19980422 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2001522375	T2	20011113	JP 1998-547811	19980422 <--
	US 6133255	A	20001017	US 1999-381988	19990927 <--
PRAI	GB 1997-8945	A	19970501 <--		
	WO 1998-GB1167	W	19980422 <--		

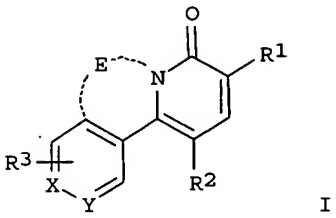
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES

WO 9850384	ICM	C07D471-14
	ICS	C07D471-04; A61K031-435; C07D471-14; C07D223-00; C07D221-00; C07D221-00; C07D471-04; C07D223-00; C07D221-00; C07D471-14; C07D221-00; C07D221-00; C07D209-00; C07D471-14; C07D221-00; C07D221-00; C07D221-00
WO 9850384	ECLA	C07D471/04+223C+221C; C07D471/14+221C+221C+221B; C07D471/14+221C+221B+209C; C07D471/14+223C+221C+221B<--
US 6133255	NCL	514/214.010; 514/214.020; 540/586.000
	ECLA	C07D471/04+223C+221C; C07D471/14+223C+221C+221B; C07D471/14+221C+221B+209C; C07D471/14+221C+221C+221B<--

OS MARPAT 130:13918

GI



I

AB A class of tricyclic pyridin-2-one analogs, substituted at the 3-position of the pyridone ring by an ester or thiazole moiety [I; E = (CH2)n; n = 1-3; one of X and Y = CH, N, N+O-, and the other is CH; R1 = methoxycarbonyl, ethoxycarbonyl, methylthiazolyl, hydroxymethylthiazolyl; R2, R3 = H, alkyl, heterocyclyl, etc.] which are selective ligands for GABAA receptors, in particular having high affinity for the α2 and/or α3 subunit thereof, and are accordingly of benefit in the treatment and/or prevention of disorders of the central nervous system, including anxiety and convulsions, were prepared Thus, refluxing 5-benzyloxy-1-[3-(3-bromopyridin-4-yl)propyl]-3-(4-methylthiazol-2-yl)-1H-pyridin-2-one (preparation described) with Bu3SnH and AIBN in C6H6 afforded 50% I [E = (CH2)3; R1 = 4-methylthiazol-2-yl; R2 = PhCH2O; R3 = H; Y = N; X = CH]. All prepared compds. I were found to possess a Ki of ≤ 100 nM for displacement of [3H]-flumazenil from the α2 and/or α3 subunit of the human GABAA receptor.

ST pyridone tricyclic analog prepn GABA ligand; anxiolytic pyridone tricyclic analog prepn; anticonvulsant pyridone tricyclic analog prepn

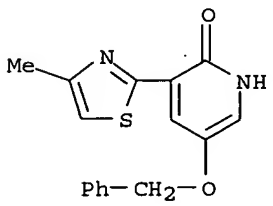
IT GABA receptors
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
(GABAA; preparation of tricyclic pyridone analogs as GABA-A receptor ligands)

IT Anticonvulsants
Anxiolytics
(preparation of tricyclic pyridone analogs as GABA-A receptor ligands)

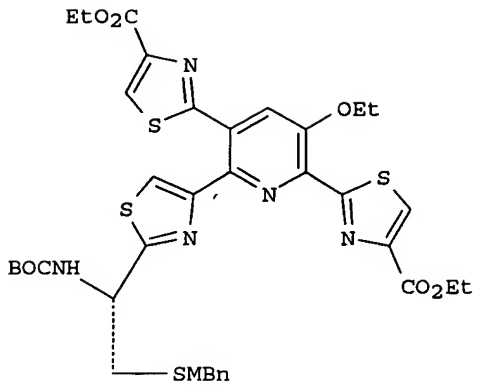
IT 216012-32-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tricyclic pyridone analogs as GABA-A receptor ligands)

IT 216012-36-1P 216012-44-1P 216012-47-4P 216012-49-6P 216012-50-9P
216012-52-1P 216012-55-4P 216012-56-5P 216012-57-6P 216012-58-7P
216012-59-8P 216012-60-1P 216012-61-2P 216012-63-4P 216012-64-5P
216012-65-6P 216012-66-7P 216012-67-8P 216012-68-9P 216012-69-0P
216012-70-3P 216012-71-4P 216012-72-5P 216012-73-6P 216012-74-7P
216012-75-8P 216012-76-9P 216012-77-0P 216012-78-1P 216012-79-2P
216012-80-5P 216012-81-6P 216012-83-8P 216012-84-9P 216012-85-0P

216012-86-1P 216012-88-3P 216012-89-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic pyridone analogs as GABA-A receptor ligands)
IT 3099-31-8, 3-Picolyl chloride 3433-80-5, 2-Bromobenzyl bromide 5720-07-0, 4-Methoxyphenylboronic acid 42783-78-8, Benzyloxyacetaldehyde diethyl acetal 173739-73-6 197007-87-7 216012-95-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tricyclic pyridone analogs as GABA-A receptor ligands)
IT 143462-35-5P **216011-87-9P** 216012-90-7P 216012-91-8P 216012-92-9P 216012-93-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic pyridone analogs as GABA-A receptor ligands)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) F Hoffmann-La Roche Ag; EP 0472166 A 1992 HCAPLUS
(2) F Hoffmann-La Roche & Co; EP 0183994 A 1986 HCAPLUS
(3) F Hoffmann-La Roche & Co; EP 0226196 A 1987 HCAPLUS
(4) F Hoffmann-La Roche & Co; EP 0294599 A 1988 HCAPLUS
IT **216011-87-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic pyridone analogs as GABA-A receptor ligands)
RN 216011-87-9 HCAPLUS
CN 2(1H)-Pyridinone, 3-(4-methyl-2-thiazolyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L53 ANSWER 8 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:408485 HCAPLUS
DN 129:175935
ED Entered STN: 04 Jul 1998
TI Synthesis of a fragment A derivative of an antibiotic, nosiheptide
AU Umemura, Kazuyuki; Noda, Hirofumi; Yoshimura, Juji; Konn, Akihito; Yonezawa, Yasuchika; Shin, Chung-gi
CS College of Science and Engineering, Iwaki Meisei University, Iwaki, 970-8551, Japan
SO Bulletin of the Chemical Society of Japan (1998), 71(6), 1391-1396
CODEN: BCSJA8; ISSN: 0009-2673
PB Chemical Society of Japan
DT Journal
LA English
CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 28
GI



I

AB Two 4-ethoxycarbonyl thiazolyl groups were introduced into 2- and 5-positions of 3-hydroxypyridine in 8 steps using 5-cyano-3-hydroxypyridine as the starting material. The pyridine derivative obtained in the last step was converted to a fragment A derivative (I) by stepwise introduction of the 2-substituted 4-thiazolyl group into the 6-position. The total yield for the formation of I via 14 steps was 7.6%.

ST nosiheptide fragment A prepn

IT 56377-79-8DP, Nosiheptide, fragment A
RL: PNU (Preparation, unclassified); PREP (Preparation)
(synthesis of antibiotic nosiheptide fragment A)

IT 195155-58-9P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of antibiotic nosiheptide fragment A)

IT 70-23-5, Ethyl bromopyruvate 7486-35-3, Tributylvinylstannane 18942-46-6 74115-13-2 97674-02-7, Tributyl(1-ethoxyvinyl)stannane
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of antibiotic nosiheptide fragment A)

IT 66960-27-8P 152803-24-2P 191166-28-6P 191166-32-2P 191166-34-4P 191166-37-7P 191166-41-3P **191166-45-7P** 191166-50-4P 191166-52-6P 191166-58-2P 211371-96-9P 211372-01-9P 211372-06-4P 211372-09-7P 211372-10-0P 211372-11-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of antibiotic nosiheptide fragment A)

IT 191166-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of antibiotic nosiheptide fragment A)

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

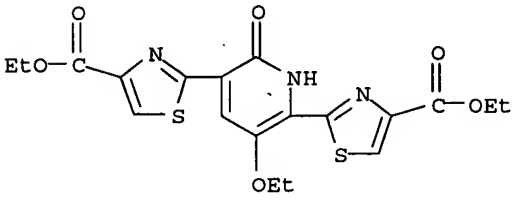
(1) Benazet, F; Experientia 1980, V36, P414 HCAPLUS
(2) Clauson-Kass, N; GB 2025953 1980 HCAPLUS
(3) Depaire, H; Tetrahedron Lett 1964, V1977, P1395
(4) Echavarnen, A; J Am Chem Soc 1987, V109, P5479
(5) Fife, W; J Org Chem 1983, V48, P1375 HCAPLUS
(6) Grashey, R; Comput Org Synth 1991, V6, P225
(7) Karen, K; Synlett 1996, V1994, P759
(8) Kelly, R; J Org Chem 1986, V51, P4590 HCAPLUS
(9) Kelly, T; J Org Chem 1996, V61, P4623 HCAPLUS
(10) Kelly, T; Tetrahedron Lett 1991, V32, P4263 HCAPLUS
(11) Kelly, T; Tetrahedron Lett 1995, V36, P5319 HCAPLUS
(12) Koerber-Ple, K; J Heterocycl Chem 1995, V32, P1309 HCAPLUS
(13) Nakamura, Y; Chem Lett V1992, P1005
(14) Nishimura, O; Chem Pharm Bull 1978, V26, P1576 HCAPLUS
(15) Okumura, K; Chem Lett 1991, V1996, P1025
(16) Pascard, C; J Am Chem Soc 1977, V109, P6418
(17) Pendrale, I; J Org Chem 1995, V60, P3249
(18) Prange, T; Nature 1977, V265, P189 HCAPLUS

(19) Rhone-Poulenc, S; FR 1392453 1961
(20) Rhone-Poulenc, S; US 3155581 1964
(21) Sakamoto, T; Chem Pharn Bull 1986, V33, P565
(22) Schwarz, G; Org Synth 1955, VIII, P332
(23) Shin, C; Bull Chem Soc Jpn 1995, V68, P3151 HCAPLUS
(24) Shin, C; J Heterocycles 1996, V43, P891 HCAPLUS
(25) Umemura, K; Synthesis V1995, P1423
(26) Umemura, K; Tetrahedron Lett 1997, V38, P3539 HCAPLUS
(27) Vorbruggen, H; Synthesis V1983, P316
(28) Yokoyama, Y; Anal Sci 1997, V13, P703 HCAPLUS

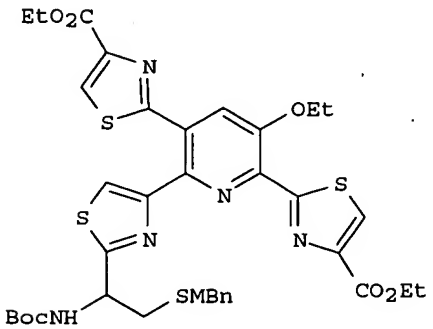
IT **191166-45-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of antibiotic nosiheptide fragment A)

RN 191166-45-7 HCAPLUS

CN 4-Thiazolecarboxylic acid, 2,2'-(3-ethoxy-1,6-dihydro-6-oxo-2,5-pyridinediyl)bis-, diethyl ester (9CI) (CA INDEX NAME)



L53 ANSWER 9 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:349332 HCAPLUS
DN 127:50961
ED Entered STN: 04 Jun 1997
TI The synthesis of fragment A of an antibiotic, Nosiheptide
AU Umemura, Kazuyuki; Noda, Hirofumi; Yoshimura, Juji; Konn, Akihito; Yonezawa, Yasuchika; Shin, Chung-Gi
CS College of Science and Engineering, Iwaki Meisei University, Iwaki, 970, Japan
SO Tetrahedron Letters (1997), 38(20), 3539-3542
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier
DT Journal
LA English
CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 28
GI



I

AB Useful for the total synthesis of Nosiheptide, its fragment A derivative I

(MBn = p-methoxybenzyl) was obtained by the stepwise introduction of 2,5-bis[(4-ethoxycarbonyl)-2-thiazolyl] groups and 6-[(2-substituted)-4-thiazolyl] group into 3-hydroxy-5-cyanopyridine. The total yield of I was 7.6% via 14 steps.

ST antibiotic nosiheptide fragment A synthesis; thiazolyl ring introduction hydroxycyanopyridine starting material

IT Antibiotics
(synthesis of fragment A of the antibiotic nosiheptide)

IT 74115-13-2 191166-58-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of fragment A of the antibiotic nosiheptide)

IT 152803-24-2P 191166-28-6P 191166-32-2P 191166-34-4P 191166-37-7P 191166-41-3P **191166-45-7P** 191166-50-4P 191166-52-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of fragment A of the antibiotic nosiheptide)

IT 56377-79-8DP, Nosiheptide, fragments 191166-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of fragment A of the antibiotic nosiheptide)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD

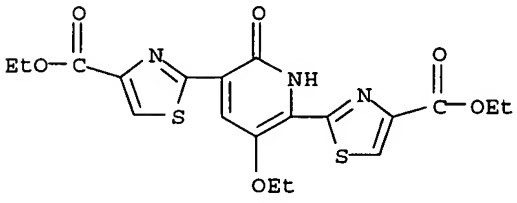
RE

(1) Bredenkamp, M; Synth Commun 1990, V20, P2235 HCAPLUS
(2) Clauson-Kass, N; GB 2025953 1980 HCAPLUS
(3) Depaire, H; Tetrahedron Lett 1977, P1395 HCAPLUS
(4) Kelly, R; J Org Chem 1986, V51, P4590 HCAPLUS
(5) Kelly, T; Tetrahedron Lett 1991, V32, P4263 HCAPLUS
(6) Kelly, T; Tetrahedron Lett 1995, V36, P5319 HCAPLUS
(7) Koerber-Ple, K; J Heterocyclic Chem 1995, V32, P1309 HCAPLUS
(8) Nakamura, Y; Chem Lett 1992, P1005 HCAPLUS
(9) Okumura, K; Chem Lett 1996, P1025 HCAPLUS
(10) Pendark, I; J Org Chem 1995, V60, P3249
(11) Pinnret, S; FR 1392453 1961
(12) Pinnret, S; US 3155581 1964
(13) Prange, T; J Am Chem Soc 1977, V109, P6418
(14) Prange, T; Nature 1977, V265, P189 HCAPLUS
(15) Shin, C; Bull Chem Soc, Jpn 1995, V68, P3151 HCAPLUS
(16) Shin, C; J Heterocycles 1996, V43, P891 HCAPLUS
(17) Umemura, K; Synthesis 1995, P1423 HCAPLUS

IT **191166-45-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of fragment A of the antibiotic nosiheptide)

RN 191166-45-7 HCAPLUS

CN 4-Thiazolecarboxylic acid, 2,2'-(3-ethoxy-1,6-dihydro-6-oxo-2,5-pyridinediyl)bis-, diethyl ester (9CI) (CA INDEX NAME)



L53 ANSWER 10 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1991:656617 HCAPLUS

DN 115:256617

ED Entered STN: 14 Dec 1991

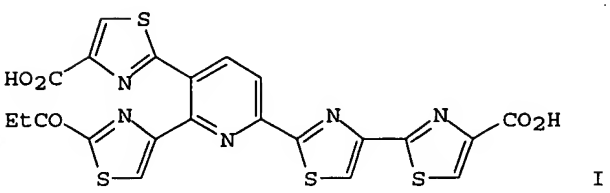
TI Synthesis of micrococcinic acid

AU Kelly, T. Ross; Jagoe, Christopher T.; Gu, Zhengxiang

CS Dep. Chem., Boston Coll., Chestnut Hill, MA, 02167, USA

SO Tetrahedron Letters (1991), 32(34), 4263-6

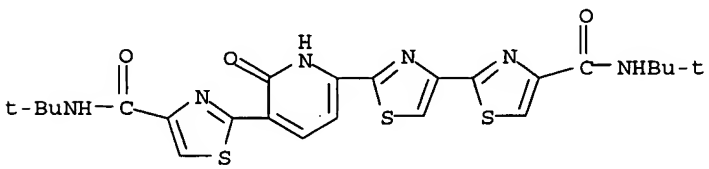
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
OS CASREACT 115:256617
GI



I

AB The first synthesis of micrococcinic acid (I) is described. The 5 rings of I are assembled from monocyclic precursors using 4 palladium-catalyzed biaryl coupling reactions.
ST micrococcinic acid; palladium catalyst biaryl coupling
IT 5154-00-7, 6-Amino-2-pyridone
RL: RCT (Reactant); RACT (Reactant or reagent)
(bromination and butoxycarbonylation of)
IT 2295-31-0, 2,4-Thiazolidinedione
RL: RCT (Reactant); RACT (Reactant or reagent)
(bromination of, with phosphorus oxybromide)
IT 123-38-6, Propanal, reactions 1609-86-5, tert-Butyl isocyanate
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with lithiothiazole derivative)
IT 137310-13-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acidic hydrolysis of)
IT 137310-06-6P 137310-08-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bromination of)
IT 137310-05-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with (dithiazolyl)pyridine derivative, palladium-catalyzed)
IT 135298-43-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with pyridine derivative, palladium-catalyzed)
IT 137310-03-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with stannylpyridine derivative, palladium-catalyzed)
IT 108306-54-3P, 4-Trimethylstannyl-2-trimethylsilylthiazole 137337-79-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with thiazole derivative, palladium-catalyzed)
IT 137310-11-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and diazotization-hydroxylation of)
IT 137310-10-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and selective deamidation of)
IT 137310-04-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and stannylation of, with hexamethylditin, palladium-catalyzed)
IT **137310-12-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and trifluoroacetylation of)
IT 137310-01-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and O-ethylation of)
IT 7171-36-0P, Micrococcinic acid
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT 137337-78-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, attempted stannylation, and coupling of, with thiazolylpyridine derivative, palladium-catalyzed)
IT 137310-09-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, attempted stannylation, and coupling of, with thiazolylthiazole derivative, palladium-catalyzed)
IT 137310-07-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, deethylation, and bromination of)
IT 108306-53-2P, 4-Bromo-2-trimethylsilylthiazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, lithiation, and condensation of, with Bu isocyanate or chlorotrimethylsilane)
IT 4175-77-3P, 2,4-Dibromothiazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, lithiation, and condensation of, with propanal)
IT 137310-02-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, lithiation, and stannylation of)
IT **137310-12-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and trifluoroacetylation of)
RN 137310-12-4 HCAPLUS
CN [2,4'-Bithiazole]-4-carboxamide, N-(1,1-dimethylethyl)-2'-[5-[4-[[(1,1-dimethylethyl)amino]carbonyl]-2-thiazolyl]-1,6-dihydro-6-oxo-2-pyridinyl]-(9CI) (CA INDEX NAME)



L53 ANSWER 11 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1983:612442 HCAPLUS
DN 99:212442
ED Entered STN: 12 May 1984
TI Activated nitriles in heterocyclic synthesis: synthesis and reactivity of

4-oxo-4,5-dihydro-1,3-thiazol-2-acetamide

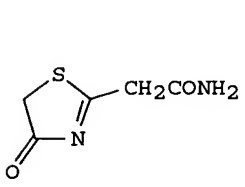
AU Sadek, Kamal Usef; Mourad, Aboul Fetouh E.; Abd-Elhafeez, Ala Eldin; Elnagdi, Mohamed Hilmy
CS Fac. Sci., Minia Univ., Minia, Egypt

SO Synthesis (1983), (9), 739-41
CODEN: SYNTBF; ISSN: 0039-7881
DT Journal
LA English

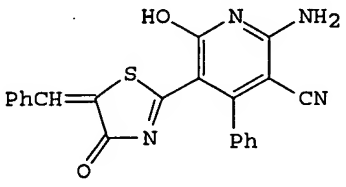
CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 27

OS CASREACT 99:212442

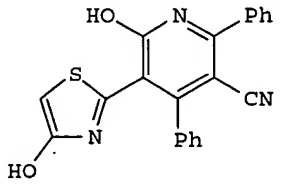
GI



I



II



III

AB The title amide (I) was converted to thiazolylnicotinonitrile derivative II by 2 routes. Refluxing I with PhCH:C(CN)2 in pyridine gave II; condensing I with PhCHO and then treating with CH2(CN)2 similarly gave II. Heating I with PhCH:C(COPh)CH in pyridine gave pyridine derivative III.

ST thiazoleacetamide cycloaddn benzylidenemalononitrile; cyclocondensation cycloaddn cinnamate thiazoleacetamide; nicotinonitrile thiazolyl; thiazolylnicotinonitrile

IT Cyclocondensation reaction
(cycloaddn. and, of thiazoleacetamide with α-acylcinnamonitriles)

IT Cycloaddition reaction
(cyclocondensation and, of thiazoleacetamide derivative with α-acylcinnamonitriles)

IT Tautomerism and Tautomers
(of oxothiazoleacetamide)

IT 100-52-7, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of with thiazoleacetamide derivative)

IT 109-77-3 2700-22-3
RL: PROC (Process)
(cycloaddn. of, with thiazoleacetamide derivative)

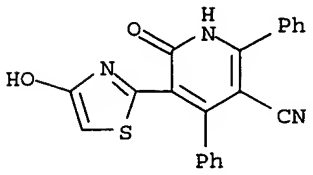
IT 68-11-1, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(cycloaddn.-cyclocondensation of, with cyanoacetamide)

IT 107-91-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(cycloaddn.-cyclocondensation of, with mercaptoacetic acid)

IT 105-56-6 2025-40-3 20413-05-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(cycloaddn.-cyclocondensation of, with thiazoleacetamide derivative)

IT 87947-98-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cycloaddn. and cyclocondensation reactions of, with malononitrile and cyanoacetate ester)

IT 87947-93-1P 87947-94-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cycloaddn.-cyclocondensation of, with α-acylcinnamonitriles)
IT 27653-83-4P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and tautomerism of)
IT 87947-95-3P 87947-96-4P **87947-97-5P** 87947-99-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT **87947-97-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 87947-97-5 HCAPLUS
CN 3-Pyridinecarbonitrile, 1,6-dihydro-5-(4-hydroxy-2-thiazolyl)-6-oxo-2,4-diphenyl- (9CI) (CA INDEX NAME)



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CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

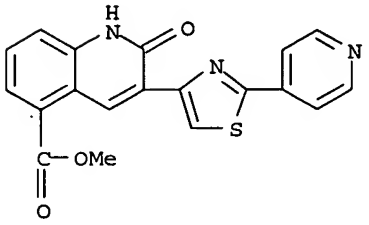
FILE 'USPAT2' ENTERED AT 16:17:42 ON 18 JUL 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs fhitstr 158 tot

L58 ANSWER 1 OF 2 USPATFULL on STN
AN **2004:294735** USPATFULL
TI Compounds and methods of uses
IN Norman, Mark H., Thousand Oaks, CA, United States
Wang, Hui-Ling, Thousand Oaks, CA, United States
Rzasa, Robert, Ventura, CA, United States
Zhong, Wenge, Thousand Oaks, CA, United States
Nguyen, Thomas, Thousand Oaks, CA, United States
Kaller, Matthew, Ventura, CA, United States
Liu, Hu, Brooklyn, NY, United States
PA Amgen, Inc., Thousand Oaks, CA, United States (U.S. corporation)
PI US 6822097 B1 20041123
AI US 2003-360226 20030206 (10)
PRAI US 2002-355313P 20020207 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Seaman, D. Margaret
CLMN Number of Claims: 44
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 15475
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Selected compounds are effective for treatment of diseases, such as cell proliferation or apoptosis mediated diseases. The invention encompasses novel compounds, analogs, prodrugs and pharmaceutically acceptable derivatives thereof, pharmaceutical compositions and methods for prophylaxis and treatment of diseases and other maladies or conditions

involving stroke, cancer and the like. The subject invention also relates to processes for making such compounds as well as to intermediates useful in such processes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 578017-64-8P
(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)
RN 578017-64-8 USPATFULL
CN 5-Quinolinecarboxylic acid, 1,2-dihydro-2-oxo-3-[2-(4-pyridinyl)-4-thiazolyl]-, methyl ester (9CI) (CA INDEX NAME)

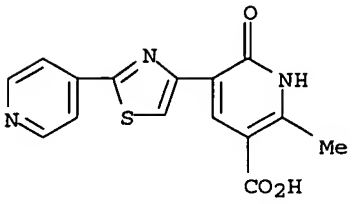


L58 ANSWER 2 OF 2 USPATFULL on STN
AN 2004:190788 USPATFULL
TI Pyrid-2-one derivatives and methods of use
IN Zhong, Wenge, Thousand Oaks, CA, UNITED STATES
Norman, Mark Henry, Thousand Oaks, CA, UNITED STATES
Kaller, Matthew, Ventura, CA, UNITED STATES
Nguyen, Thomas, Thousand Oaks, CA, UNITED STATES
Rzasa, Robert Michael, Ventura, CA, UNITED STATES
Tegley, Christopher, Thousand Oaks, CA, UNITED STATES
Wang, Hui-Ling, Thousand Oaks, CA, UNITED STATES
PI US 2004147561 A1 20040729
AI US 2003-736289 A1 20031212 (10)
PRAI US 2002-436787P 20021227 (60)
DT Utility
FS APPLICATION
LREP AMGEN INC., U.S. Patent Operations/JWB, Dept. 4300, M/S 27-4-A, One Amgen Center Drive, Thousand Oaks, CA, 91320-1799
CLMN Number of Claims: 39
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 7376
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Selected compounds are effective for treatment of diseases, such as cell proliferation or apoptosis mediated diseases. The invention encompasses novel compounds, analogs, prodrugs and pharmaceutically acceptable derivatives thereof, pharmaceutical compositions and methods for prophylaxis and treatment of diseases and other maladies or conditions involving stroke, cancer and the like. The subject invention also relates to processes for making such compounds as well as to intermediates useful in such processes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 727383-80-4P, 2-Methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid trifluoroacetate
(Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)
RN 727383-80-4 USPATFULL
CN 3-Pyridinecarboxylic acid, 1,6-dihydro-2-methyl-6-oxo-5-[2-(4-pyridinyl)-4-thiazolyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

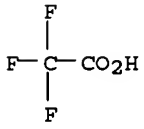
CM 1

CRN 727383-79-1
CMF C15 H11 N3 O3 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



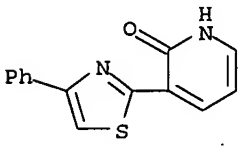
=> d bib abs hitstr l61 tot

L61 ANSWER 1 OF 4 USPATFULL on STN
AN 2002:33452 USPATFULL
TI Superoxide radical inhibitor
IN Chihiro, Masatoshi, Naruto, JAPAN
Komatsu, Hajime, Tokyo, JAPAN
Tominaga, Michiaki, Itano-Gun, JAPAN
Yabuuchi, Yoichi, Tokushima, JAPAN
PA Otsuka Pharmaceutical Co., Ltd., Tokyo, JAPAN (non-U.S. corporation)
PI US 37556 E1 20020219 <--
US 5643932 19970701 (Original)
AI US 1999-245914 19990208 (9) <--
US 1995-444728 19950519 (Original) <--
RLI Continuation of Ser. No. US 916082, now abandoned
PRAI JP 1990-337727 19901130 <--
DT Reissue
FS GRANTED
EXNAM Primary Examiner: Gerstl, Robert
LREP Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.
CLMN Number of Claims: 7
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 6449
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A superoxide radical inhibitor containing, as an effective ingredient, an azole derivative represented by the general formula (1), ##STR1##

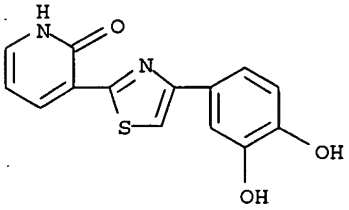
[wherein R.sup.1 represents a phenyl group which may have 1-3 lower alkoxy groups as substituent(s) on the phenyl ring, a phenyl group having a lower alkylenedioxy group, or the like; R.sup.2 represents a hydrogen atom, a phenyl group, a halogen atom, a lower alkoxycarbonyl group, a lower alkyl group, an amino-lower alkyl group which may have a lower alkyl group as a substituent, a dihydrocarbostyril group, or the like; R.sup.3 represents a group of the formula, ##STR2##

(R.sup.4B represents a hydroxyl group, a carboxy group, a lower alkenyl group or a lower alkyl group, m represents 0, 1 or 2); X represents a sulfur atom or an oxygen atom] or a salt thereof.

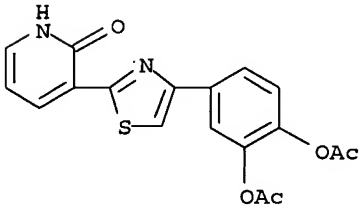
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **145737-03-7P 145738-49-4P 145738-51-8P**
(preparation of, as active oxygen inhibitor)
RN 145737-03-7 USPATFULL
CN 2(1H)-Pyridinone, 3-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



RN 145738-49-4 USPATFULL
CN 2(1H)-Pyridinone, 3-[4-(3,4-dihydroxyphenyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



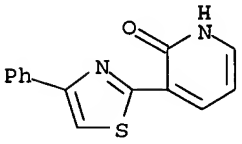
RN 145738-51-8 USPATFULL
CN 2(1H)-Pyridinone, 3-[4-[3,4-bis(acetyloxy)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



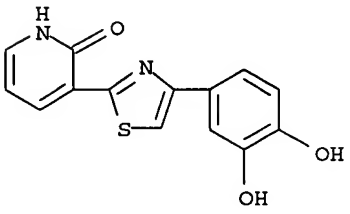
L61 ANSWER 2 OF 4 USPATFULL on STN
AN 2000:80772 USPATFULL
TI Superoxide radical inhibitor
IN Chihiro, Masatoshi, Naruto, Japan
Komatsu, Hajime, Tokushima, Japan
Tominaga, Michiaki, Tokushima, Japan
Yabuuchi, Yoichi, Tokushima, Japan
PA Otsuka Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)
PI US 6080764 20000627 <--
AI US 1997-826343 19970325 (8) <--
RLI Division of Ser. No. US 1995-482657, filed on 7 Jun 1995 which is a division of Ser. No. US 1995-444728, filed on 19 May 1995 which is a continuation of Ser. No. US 916082
PRAI JP 1990-3377727 19901130 <--
DT Utility

FS Granted
EXNAM Primary Examiner: Gerstl, Robert
LREP Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.
CLMN Number of Claims: 10
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 7154
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A superoxide radical inhibitor containing, as an effective ingredient, an azole derivative represented by the general formula (1), ##STR1## [wherein R.sup.1 represents a phenyl group which may have 1-3 lower alkoxy groups as substituent(s) on the phenyl ring, a phenyl group having a lower alkylenedioxy group, or the like; R.sup.2 represents a hydrogen atom, a phenyl group, a halogen atom, a lower alkoxycarbonyl group, a lower alkyl group, an amino-lower alkyl group which may have a lower alkyl group as a substituent, a dihydrocarbostyril group, or the like; R.sup.3 represents a group of the formula, ##STR2## (R.sup.4B represents a hydroxyl group, a carboxy group, a lower alkenyl group or a lower alkyl group. m represents 0, 1 or 2); X represents a sulfur atom or an oxygen atom] or a salt thereof.

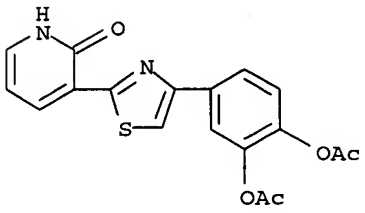
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **145737-03-7P 145738-49-4P 145738-51-8P**
(preparation of, as active oxygen inhibitor)
RN 145737-03-7 USPATFULL
CN 2(1H)-Pyridinone, 3-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



RN 145738-49-4 USPATFULL
CN 2(1H)-Pyridinone, 3-[4-(3,4-dihydroxyphenyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)

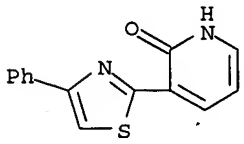


RN 145738-51-8 USPATFULL
CN 2(1H)-Pyridinone, 3-[4-[3,4-bis(acetyloxy)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

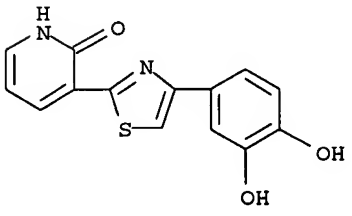


L61 ANSWER 3 OF 4 USPATFULL on STN
AN 97:94251 USPATFULL
TI Superoxide radical inhibitor
IN Chihiro, Masatoshi, Naruto, Japan
Komatsu, Hajime, Itano-gun, Japan
Tominaga, Michiaki, Itano-gun, Japan
Yabuuchi, Yoichi, Tokushima, Japan
PA Otsuka Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)
PI US 5677319 19971014 <--
AI US 1995-482657 19950607 (8) <--
RLI Division of Ser. No. US 1995-444728, filed on 19 May 1995 which is a continuation of Ser. No. US 1992-916082, filed on 29 Jul 1992, now abandoned
PRAI JP 1990-337727 19901130 <--
DT Utility
FS Granted
EXNAM Primary Examiner: Gerstl, Robert
LREP Finnegan, Henderson, Farabow, Garrett & Dunner
CLMN Number of Claims: 22
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 6751
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A superoxide radical inhibitor containing, as an effective ingredient, an azole derivative represented by the general formula (1), ##STR1## [wherein R.sup.1 represents a phenyl group which may have 1-3 lower alkoxy groups as substituent(s) on the phenyl ring, a phenyl group having a lower alkylenedioxy group, or the like; R.sup.2 represents a hydrogen atom, a phenyl group, a halogen atom, a lower alkoxycarbonyl group, a lower alkyl group, an amino-lower alkyl group which may have a lower alkyl group as a substituent, a dihydrocarbostyril group, or the like; R.sup.3 represents a group of the formula, ##STR2## (R.sup.4B represents a hydroxyl group, a carboxy group, a lower alkenyl group or a lower alkyl group. m represents 0, 1 or 2); X represents a sulfur atom or an oxygen atom] or a salt thereof.

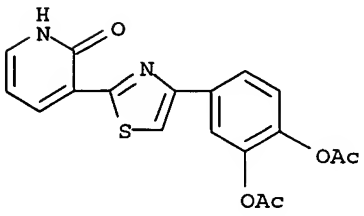
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **145737-03-7P 145738-49-4P 145738-51-8P**
(preparation of, as active oxygen inhibitor)
RN 145737-03-7 USPATFULL
CN 2(1H)-Pyridinone, 3-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



RN 145738-49-4 USPATFULL
CN 2(1H)-Pyridinone, 3-[4-(3,4-dihydroxyphenyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)

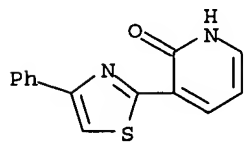


RN 145738-51-8 USPATFULL
CN 2(1H)-Pyridinone, 3-[4-[3,4-bis(acetyloxy)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

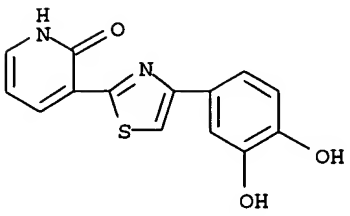


L61 ANSWER 4 OF 4 USPATFULL on STN
AN 97:56698 USPATFULL
TI Superoxide radical inhibitor
IN Chihiro, Masatoshi, Naruto, Japan
Komatsu, Hajime, Itano-gun, Japan
Tominaga, Michiaki, Itano-gun, Japan
Yabuuchi, Yoichi, Tokushima, Japan
PA Otsuka Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)
PI US 5643932 19970701 <--
AI US 1995-444728 19950519 (8) <--
RLI Continuation of Ser. No. US 1992-916082, filed on 29 Jul 1992, now abandoned
PRAI JP 1990-337727 19901130 <--
DT Utility
FS Granted
EXNAM Primary Examiner: Gerstl, Robert
LREP Finnegan, Henderson, Farabow, Garrett & Dunner
CLMN Number of Claims: 11
ECL Exemplary Claim: 9
DRWN No Drawings
LN.CNT 6708
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A superoxide radical inhibitor containing, as an effective ingredient, an azole derivative represented by the general formula (1), ##STR1## [wherein R.sup.1 represents a phenyl group which may have 1-3 lower alkoxy groups as substituent(s) on the phenyl ring, a phenyl group having a lower alkylenedioxy group, or the like; R.sup.2 represents a hydrogen atom, a phenyl group, a halogen atom, a lower alkoxycarbonyl group, a lower alkyl group, an amino-lower alkyl group which may have a lower alkyl group as a substituent, a dihydrocarbostyril group, or the like; R.sup.3 represents a group of the formula, ##STR2## (R.sup.4B represents a hydroxyl group, a carboxy group, a lower alkenyl group or a lower alkyl group. m represents 0, 1 or 2); X represents a sulfur atom or an oxygen atom] or a salt thereof.

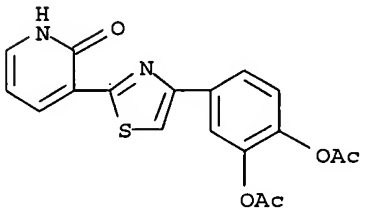
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **145737-03-7P 145738-49-4P 145738-51-8P**
(preparation of, as active oxygen inhibitor)
RN 145737-03-7 USPATFULL
CN 2(1H)-Pyridinone, 3-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



RN 145738-49-4 USPATFULL
CN 2(1H)-Pyridinone, 3-[4-(3,4-dihydroxyphenyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 145738-51-8 USPATFULL
CN 2(1H)-Pyridinone, 3-[4-[3,4-bis(acetyloxy)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



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FILE 'HCAOLD' ENTERED AT 16:18:32 ON 18 JUL 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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PRE-1967 CHEMICAL ABSTRACTS FILE WITH HOUR-BASED PRICING
FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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=> d all l62 tot

L62 ANSWER 1 OF 1 HCAOLD COPYRIGHT 2005 ACS on STN
AN CA59:635b CAOLD
TI 2-amino-4-[2-(5-nitrofuryl)]thiazoles
AU Landquist, Justus K.
PA Imperial Chemical Industries Ltd.
DT Patent

PATENT NO.	KIND	DATE

PI US 3074954 1963
GB 967492
IT 2731-45-5 2731-48-8 38514-71-5 90349-60-3 91371-22-1 91983-02-7
91983-62-9 **92017-53-3** 92555-11-8 93717-99-8 95194-95-9
96535-15-8 96954-30-2 97031-88-4 97439-28-6 100258-61-5 105863-16-9

=> b reg
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 17 JUL 2005 HIGHEST RN 855596-49-5
DICTIONARY FILE UPDATES: 17 JUL 2005 HIGHEST RN 855596-49-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

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* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
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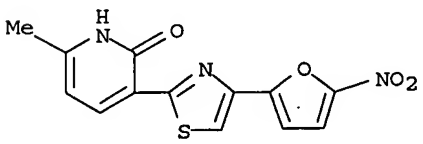
Structure search iteration limits have been increased. See HELP SLIMITS
for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d ide l63 tot

L63 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN
RN **92017-53-3** REGISTRY
ED Entered STN: 16 Nov 1984
CN 2-Pyridinol, 6-methyl-3-[4-(5-nitro-2-furyl)-2-thiazolyl]- (7CI) (CA
INDEX NAME)
FS 3D CONCORD
MF C13 H9 N3 O4 S
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

Search done by Noble Jarrell

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            1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
            1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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=> => b uspatall
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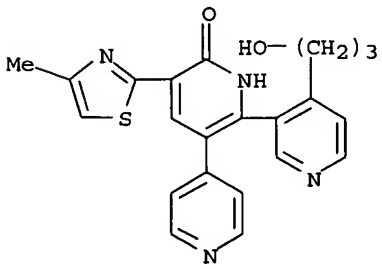
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 16:31:29 ON 18 JUL 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitstr l55 tot

L55 ANSWER 1 OF 2 USPATFULL on STN
AN 2001:233547 USPATFULL
TI Tricyclic pyridin-2-one analogue as a GABA receptor ligand
IN Crawforth, James Michael, Stevenage, Great Britain
Gibson, Karl Richard, Bishops Stortford, Great Britain
Rowley, Michael, Casalpolocco, Italy
PI US 2001053776 A1 20011220
AI US 2001-861318 A1 20010518 (9)
PRAI GB 2000-12708 20000524
GB 2001-3525 20010213
DT Utility
FS APPLICATION
LREP MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907
CLMN Number of Claims: 8
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 684
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB 9-(4-Methylthiazol-2-yl)- 11-(pyridin-4-yl)-6,7-dihydro-5H-2,
7a-diazadibenzo[a,c]cyclohepten-8-one, and pharmaceutically acceptable
salts thereof, are selective ligands for GABAA receptors, in particular
having high affinity for the a2 and/or a3 subunit thereof, and are
accordingly of benefit in the treatment and/or prevention of disorders
of the central nervous system, including anxiety and convulsions.

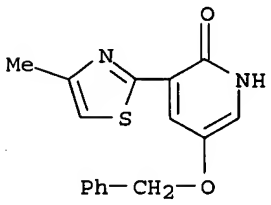
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **380830-49-9P**
(preparation of tricyclic pyridin-2-one analog as a GABA receptor ligand)
RN 380830-49-9 USPATFULL
CN [3,2':3',4''-Terpyridin]-6'(1'H)-one, 4-(3-hydroxypropyl)-5''-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



L55 ANSWER 2 OF 2 USPATFULL on STN

AN 2000:138341 USPATFULL
TI Tricyclic pyridone analogues as GABA-A receptor ligands
IN Harrison, Timothy, Great Dunmow, United Kingdom
Lewis, Richard Thomas, Bishops Stortford, United Kingdom
Moyes, Christopher Richard, Sawbridgeworth, United Kingdom
Nadin, Alan, Cambridge, United Kingdom
Owens, Andrew Pate, Huntingdon, United Kingdom
PA Merck Sharp & Dohme Limited, Hoddesdon, United Kingdom (non-U.S. corporation)
PI US 6133255 20001017
WO 9850384 19981112
AI US 1999-381988 19990927 (9)
WO 1998-GB1167 19980422
19990927 PCT 371 date
19990927 PCT 102(e) date
PRAI GB 1997-8945 19970501
DT Utility
FS Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Liu, Hong
LREP Lee, Shu M., Rose, David L.
CLMN Number of Claims: 7
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1186
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Tricyclic pyridin-2-one analogues which are ligands for GABA.sub.A receptors, are useful in the therapy of deleterious mendtal states, and are represented by the formula: ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **216011-87-9P**
(preparation of tricyclic pyridone analogs as GABA-A receptor ligands)
RN 216011-87-9 USPATFULL
CN 2(1H)-Pyridinone, 3-(4-methyl-2-thiazolyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



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